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Yang et al.

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(54) **TRIKETONE COMPOUND AND PREPARATION METHOD AND USE THEREOF**

(58) **Field of Classification Search**
CPC C07D 239/96; C07D 239/95; A01N 43/54
See application file for complete search history.

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(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 0 days.

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(57) **ABSTRACT**

(65) **Prior Publication Data**

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Disclosed in the present invention is a triketone compound which has a structure shown in Formula (I). Also disclosed in the present invention is a method for preparing the triketone compound having a structure as shown by Formula (I), which comprise that under the rearrangement reaction conditions, the compound having a structure as shown by Formula (II) is contacted with a catalyst in the presence of a base and a solvent. Further disclosed in the present invention is the use of a triketone compound having a structure as shown by Formula (I) in preventing and controlling weeds. Said triketone compound having a structure as shown by formula (I) in the present invention has the effect of preventing and controlling weeds, in particular having an excellent effect on preventing and controlling broadleaved weeds and/or gramineae weeds.

(30) **Foreign Application Priority Data**

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20 Claims, 1 Drawing Sheet

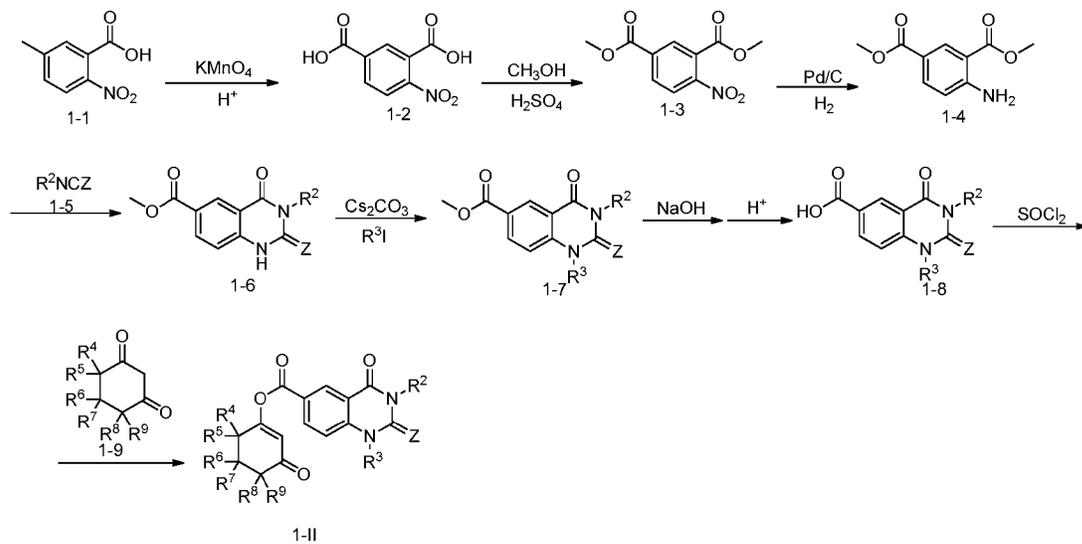


FIG 1

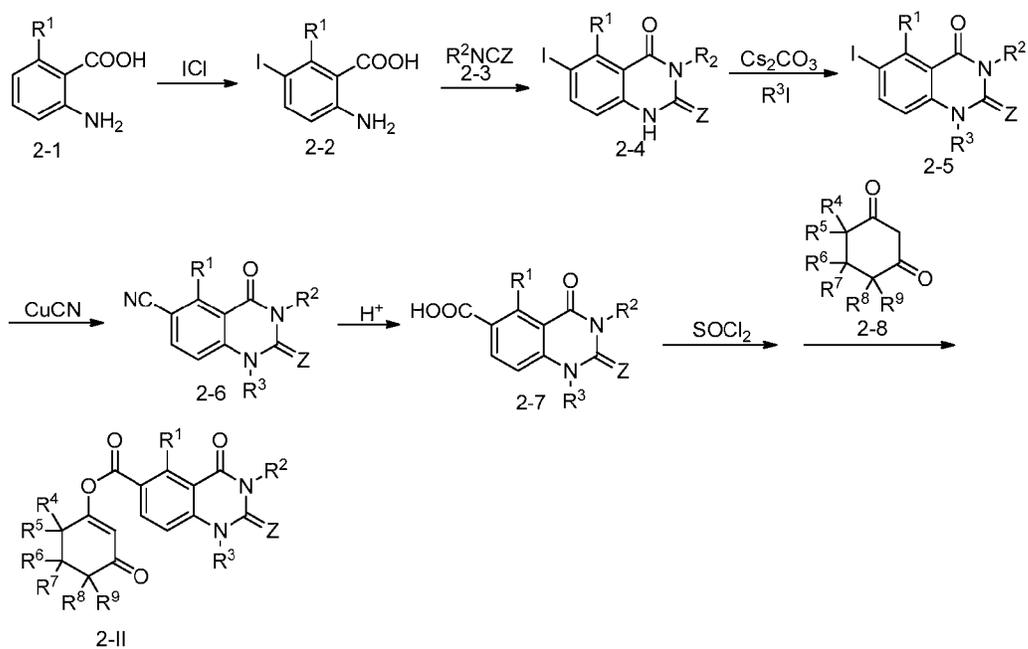


FIG 2

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**TRIKETONE COMPOUND AND
 PREPARATION METHOD AND USE
 THEREOF**

CROSS REFERENCE TO RELATED
 APPLICATIONS

This application is a 35 USC §371 national stage application of PCT/CN2014/078005, which was filed May 5, 2014 and claims priority to Chinese Patent Application No. 201310516269.0, filed Oct. 25, 2013, both of which are incorporated herein by reference as if fully set forth.

FIELD OF THE INVENTION

The present invention relates to a triketone compound as well as its preparation method and its use for preventing and controlling weeds.

BACKGROUND OF THE INVENTION

4-hydroxyphenylpyruvate dioxygenase (4-HPPD) is a new action target of herbicides discovered in the 1980s and widely exists in various aerobic organisms. This enzyme is a dioxygenase containing iron divalent and relying on α -ketonic acid. It can catalytically convert p-hydroxyphenylpyruvic acid into homogentisic acid. The action mechanism of 4-HPPD herbicide is a process of inhibiting conversion of p-hydroxyphenylpyruvic acid inside plants into homogentisic acid. The homogentisic acid inside plants can be further biologically catalyzed into plastoquinone and tocopherol, while plastoquinone and tocopherol are substances necessary for transfer of electron chain in plant photosynthesis. If 4-HPPD in plants is inhibited, the synthesis of homogentisic acid will be obstructed, thus affecting the transfer of electron chain of photosynthesis in plants. Consequently, the plants will suffer whitening and die.

Designing and synthesizing 4-HPPD inhibitor with a new structure is one of the hotspot fields for pesticide chemical research in the recent years. By now, 4-HPPD inhibitors with more than five different structures have been discovered and mainly include triketone type, pyrazole type, isoxazole type, diketone nitrile type and benzophenone type. The herbicides developed with 4-HPPD as a target have a string of advantages, such as: high performance, low toxicity, environmental friendliness, and safety to subsequent crops. Therefore, 4-HPPD herbicides have a great research value and development prospect and also attract more and more pesticide companies to the R&D of 4-HPPD herbicides. On the market, there are many kinds of triketone-type 4-HPPD inhibitors. Their molecules all have a benzene ring structure, such as: mesotrione and sulcotrione. Among them, mesotrione has the best herbicidal effect and high safety.

Based on the research on 4-HPPD herbicide system, the present invention designs and synthesizes a new triketone-type 4-HPPD compound containing quinazolinone structure.

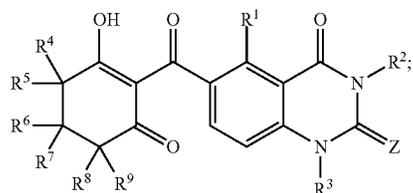
SUMMARY OF THE INVENTION

The object of the present invention is to provide a new triketone compound containing quinazolinone structure and its preparation method and its use for preventing and controlling weeds.

In order to realize the above object, on the one hand, the present invention provides a triketone compound, which has a structure shown in Formula (I):

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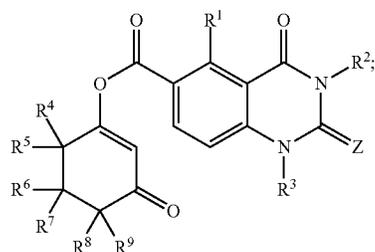
Formula (I)



wherein, Z is O or S; R¹ is one of H, C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano; R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic group and triaromatic group; R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen.

On the second hand, the present invention provides a method for preparing the triketone compound with a structure shown in Formula (I). This method includes contacting the compound with a structure shown in Formula (II) with catalyst under the conditions of rearrangement reaction with the existence of alkali and solvent;

Formula (II)



wherein, Z is O or S; R¹ is one of H, C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano; R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic group and triaromatic group; R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen.

On the third hand, the present invention provides use of the triketone compound with a structure shown in Formula (I) for preventing and controlling weeds.

The triketone compound provided by the present invention, having a structure shown in Formula (I) and containing quinazolinone structure has an effect in preventing and controlling weeds, particularly broadleaved weeds and/or gramineae weeds.

Other features and advantages of the present invention will be elaborated in the subsequent embodiments.

BRIEF DESCRIPTION OF THE DRAWINGS

The accompanying drawings are intended to provide further understanding on the present invention and constitute a part of the description. They and the embodiments below

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together are intended to explain the present invention and not to limit the present invention. Of the accompanying drawings:

FIG. 1 shows a synthetic route for synthesis of the compound with a structure shown in Formula (II) when R¹ is H.

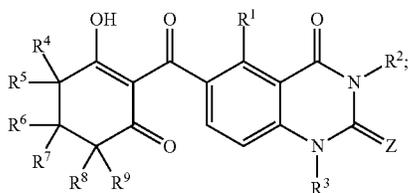
FIG. 2 shows a synthetic route for synthesis of the compound with a structure shown in Formula (II) when R¹ is one of C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano.

DETAILED DESCRIPTION OF THE EMBODIMENTS

Thereafter the embodiments of the present invention will be described in details. It should be understood that these embodiments are intended to describe and explain the present invention and not to limit the present invention.

On the one hand, the present invention provides a triketone compound, which has a structure shown in Formula (I):

Formula (I)



wherein,

Z may be O or S; R¹ may be one of H, C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano; R² may be one of substituted or unsubstituted phenyl, benzyl, diaromatic group and triaromatic group; R³ may be one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ may be respectively one of H, C₁-C₆ alkyl and C₁-C₆ alkoxy and halogen.

According to the triketone compound with a structure shown in Formula (I) described in the present invention, when Z is O or S; R¹ is one of H, C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano; R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic group and triaromatic group; R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ is respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen, this triketone compound will have an effect in preventing and controlling weeds.

The substituent groups of R² and R³ may be respectively halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ halogenated alkyl, nitro and C₁-C₆ halogenated alkoxy for example. The C₁-C₆ alkyl may be methyl, ethyl, propyl, isobutyl, n-butyl, tertiary butyl, 2,2-dimethyl propyl, amyl and hexyl for example. The C₁-C₆ alkoxy may be methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, tert-butoxy, 2,2-methylpropoxy, amoxy and hexyloxy for example. The halogen may be F, Cl, Br and I for example.

Preferably, Z is O; R¹ is one of H and C₁-C₃ alkyl; R² is one of substituted or unsubstituted phenyl and substituted or unsubstituted diaromatic group; R³ is one of H, C₁-C₆ alkyl, C₂-C₄ unsaturated chain alkyl, substituted or unsubstituted

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phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H and C₁-C₆ alkyl. The present invention particularly prefers the triketone compound with a structure shown in Formula (I), wherein R¹ is H or methyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively H or methyl.

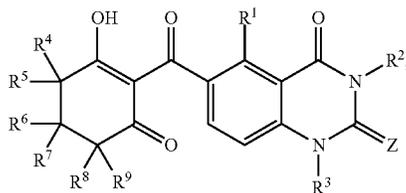
Preferably R² and R³ are respectively methyl, halogen-substituted phenyl, methyl-substituted phenyl, trifluoromethyl-substituted phenyl, methoxy-substituted phenyl, trifluoromethoxy-substituted phenyl, isopropyl-substituted phenyl, ethyl-substituted phenyl, and naphthyl and nitro-substituted phenyl.

More preferably, the triketone compound is a compound shown in Table 1.

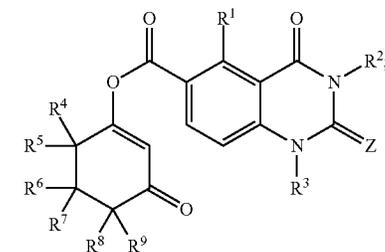
Under the forgoing preferred circumstances, the effect of the triketone compound with a structure shown in Formula (I) in preventing and controlling weeds may be further enhanced.

On the second hand, the present invention provides a method for preparing a triketone compound with a structure shown in Formula (I). This method includes contacting the compound with a structure shown in Formula (II) with catalyst under the conditions of rearrangement reaction with the existence of alkali and solvent;

Formula (I)



Formula (II)



wherein, the substituent groups in the structures shown in Formula (I) and Formula (II) may be as described in the preceding part of the present invention.

According to the method for preparing a triketone compound with a structure shown in Formula (I) as described in the present invention, those skilled in the art may have the compound with a structure shown in Formula (II) contact with catalyst in accordance with the normal conditions and operation of rearrangement reaction and with the existence of alkali and solvent. Preferably, the contact conditions are: reaction temperature 0-100° C., more preferably 20-40° C.; reaction time 0.5-24 h, more preferably 5-12 h.

In the preparation method described in the present invention, the molar ratio of the compound with a structure shown in Formula (II) to catalyst and alkali is preferably 1:0.01-1:0.5-4, more preferably 1:0.05-1:1-3.

Those skilled in the art should understand that the method described in the present invention may also include a step of

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purifying the obtained product. There are no particular requirements for purifying method. The purifying methods conventionally used by those skilled in the art may be adopted. For example, impurities may be removed by such methods as extraction by extracting agent, drying by drying agent and column chromatography.

In the preparation method described in the present invention, the compound with a structure shown in Formula (II) may be bought from the market or prepared through conventional reactions in the art.

For example, when in the compound with a structure shown in Formula (II), Z is O or S; R¹ is H; R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic group and triaromatic group; R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen, the compound with a structure shown in Formula (II) may be prepared by the synthetic route as shown in FIG. 1: under an acidic condition and with the existence of KMnO₄, 1-1 compound is oxidized to obtain 1-2 compound; the obtained compound reacts with methanol with the existence of H₂SO₄ to obtain 1-3 compound; the obtained compound takes reduction reaction with hydrogen with the existence of Pd/C catalyst to obtain 1-4 compound; 1-4 compound reacts with 1-5 compound to obtain 1-6 compound; 1-6 compound reacts with alkyl iodine with the existence of cesium carbonate to obtain 1-7 compound; it takes further reactions under a basic condition and an acidic condition in turn to obtain 1-8 compound; 1-8 compound reacts with sulfoxide chloride and 1-9 compound in turn to obtain the compound with a structure shown in Formula (II).

When in the compound with a structure shown in Formula (II), Z is O or S; R¹ is one of C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano; R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic group and triaromatic group; R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl; R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen, the compound with a structure shown in Formula (II) may be prepared by the synthetic route as shown in FIG. 2: 2-1 compound reacts with ICl to obtain 2-2 compound; it further reacts with 2-3 compound to obtain 2-4 compound; 2-4 compound reacts with alkyl iodine with the existence of cesium carbonate to obtain 2-5 compound; it further reacts with cuprous cyanide to obtain 2-6 compound, and then takes acidification reaction to obtain 2-7 compound; 2-7 compound reacts with sulfoxide chloride and 2-8 compound in turn to obtain the compound with a structure shown in Formula (II).

The substituent groups of the compounds in the synthetic route as shown in FIG. 1 and FIG. 2 in the present invention have the foregoing types.

In the preparation method described in the present invention, the catalyst is preferably at least one of sodium cyanide, potassium cyanide, acetone cyanohydrins, trimethyl silyl cyanide, 1,2,4-triazole and benzo-1,2,4-triazole; the alkali is preferably at least one of potassium carbonate, sodium carbonate, cesium carbonate, triethylamine and pyridine; the solvent is preferably at least one of dichloromethane, trichloromethane, dichloroethane, acetonitrile, toluene, tetrahydrofuran and benzene.

On the third hand, the present invention provides use of the foregoing triketone compound for preventing and controlling weeds.

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The weeds described in the present invention refer to the plants which live in human living and activity sites and do harm to human living and activities. They may be wild plants or plants useless to human. For example, they may be wild plants in crop planting fields.

Preferably, the foregoing triketone compound provided by the present invention has a good effect when it is used to prevent and control broadleaved weeds and/or gramineae weeds.

Preferably, the weeds may be one or more of *abutilon theophrasti*, *digitaria sanguinalis*, *amaranthus retroflexus*, *echinochloa crusgalli*, *eclipta prostrata* and *setaria viridis*.

In the use of the foregoing triketone compound provided by the present invention, the preferred dose of the triketone compound is 50-300 g/ha.

In the use of the foregoing triketone compound provided by the present invention, the triketone compound is dissolved in and diluted with a solvent before use. The preferred concentration after the dissolution and dilution is 0.05-0.4 g/L. The solvents dissolving the triketone compound may include N,N-dimethylformamide, dimethylsulfoxide, etc. The reagent used for dilution may be water which contains common additives. Preferably, additives commonly used in herbicides in the art may be added to the solution containing dissolved triketone compound, for example: one or a plurality of surface agents and emulsifying agents.

The diluted triketone compound described in the present invention may be sprayed onto stems and/or leaves of plants by a conventional method of the art.

In order to enhance the prevention and control effect of the triketone compound described in the present invention and extend its use scope, the triketone compound may be used separately, or together with other common herbicides (such as: atrazine, fentrazamide, bromoxynil, and pentoxazone) in a compound way. Moreover, there isn't particular limitation to compounding ratio, and a ratio normally used in the art may be adopted as long as the prevention and control effect is enhanced, the use scope is extended and safety performance is improved after compounding.

Below the present invention is described in details by referring to examples. Unless otherwise stated in the following examples, the raw materials used in the examples are purchased from the market and are all analytically pure.

Preparation Example 1

This preparation example is for preparing (3-oxo-1-cyclohexenyl)-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate, and includes the following steps:

Step A: Preparation of 4-nitrosophthalic Acid

Add 20 g of 5-methyl-2-nitrobenzoic acid to a 500 mL single-neck flask, install a reflux condensing tube, add 200 mL of water, add 2 g of KOH under agitation, heat to 90° C., add 50 g of KMnO₄ by batch after the solid in the reaction flask is thoroughly dissolved, and continue to react at 90° C. for 3-4 h. Perform suction filtration while it is hot after the reaction, and wash the filter cakes with hot water. Acidify the filtrate with concentrated HCl in an ice-water bath, adjust pH value to 1-2 and let it rest to separate out a large amount of solid. Perform suction filtration, wash the solid with water and dry it to obtain a white solid. The output is 21.6 g and the yield is 92.7%; mp 244-246° C. ¹H NMR (600 MHz,

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DMSO-D₆): δ 13.99 (brs, 2H), 8.34 (d, J=1.2 Hz, 1H), 8.27 (dd, J=8.4, 1.8 Hz, 1H), 8.08 (d, J=8.4 Hz, 1H).

Step B: Preparation of dimethyl 4-nitroisophthalate

Add 31 g of 4-nitroisophthalic acid to a 500 mL single-neck flask, add 200 mL of methanol, slowly dropwise add 4 mL of concentrated H₂SO₄, perform reflux after the dropwise addition, react overnight, dry off methanol after the reaction, add 100 mL of water, extract with 100 mL×2 of ethyl acetate twice, wash the organic layer with 30 mL of saturated NaHCO₃ twice, dry with anhydrous Na₂SO₄, and dry off the solvent to obtain a white crystalline pure product. The output is 28.4 g and the yield is 95%; mp 84-86° C. ¹H (600 MHz, CDCl₃): δ 8.44 (s, 1H), 8.29 (d, J=8.4 Hz, 1H), 7.93 (d, J=8.4 Hz, 1H), 7.27 (s, 1H), 3.99 (s, 3H), 3.95 (s, 3H).

Step C: Preparation of dimethyl 4-aminoisophthalate

Dissolve 20 g of dimethyl 4-nitroisophthalate in 518 mL of ethyl acetate and add 1 g of 10 wt % of Pd/C under agitation. Input H₂, slowly heat to 40° C., react for about 5 h, and track the reaction process by TLC until the raw materials disappear. Stop heating after the reaction, cool the product to room temperature and filter it, wash filter cakes with ethyl acetate, and carry out column chromatography, eluent:petroleum ether:ethyl acetate=3:1. 17 g of white crystalline solid is obtained and the yield is 97%; mp 127-129° C. ¹H (400 MHz, CDCl₃): δ 8.59 (d, J=1.6 Hz, 1H), 7.91 (dd, J=8.4 Hz, 2.0 Hz, 1H), 6.66 (d, J=8.8 Hz, 1H), 6.2 (brs, 2H), 3.90 (s, 3H), 3.88 (s, 3H).

Step D: Preparation of methyl-3-(4-chlorophenyl)-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate

Add 2 g of dimethyl 4-aminoisophthalate to a 50 mL double-neck flask, add 20 mL of pyridine and 1.86 g of p-chlorophenyl isocyanate and react at 100° C. overnight. Add 30 mL of water after the reaction, separate out a large amount of white precipitate, perform suction filtration, wash the solid with diethyl ether and dry it to obtain 2.99 g of white solid, with a yield of 95%; mp 311-313° C. ¹H NMR (600 MHz, DMSO-D₆): δ 11.95 (s, 1H), 8.48 (d, J=1.8 Hz, 1H), 8.23 (dd, J=9.0, 1.8 Hz, 1H), 7.56 (d, J=8.4 Hz, 2H), 7.40 (d, J=8.4 Hz, 2H), 7.32 (d, J=8.4 Hz, 1H), 3.88 (s, 3H).

Step E: Preparation of methyl-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate

Add 3 g of methyl-3-(4-chlorophenyl)-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate to a 150 mL single-neck flask, add 50 mL of DMF and 3 g of Cs₂CO₃ and react under agitation for 30 min. Dropwise add 5 g of CH₃I, and react at room temperature overnight after the dropwise addition. Add 100 mL of water after the reaction, separate out a large amount of white precipitate, perform suction filtration, wash the precipitate with water and dry it to obtain 2.82 g of white solid, with a yield of 91%; mp 232-234° C. ¹H NMR (600 MHz, DMSO-D₆): δ 8.57 (s, 1H), 8.33 (d, J=8.4 Hz, 1H), 7.65 (d, J=9.0 Hz, 1H), 7.58 (d, J=8.4 Hz, 2H), 7.39 (d, J=7.8 Hz, 2H), 3.90 (s, 3H), 3.57 (s, 3H).

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Step F: Preparation of 3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylic acid

Add 3 g of methyl-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate to a 250 mL double-neck flask, add 70 mL of ethanol, dropwise add a solution prepared by dissolving 0.68 g of NaOH in 70 mL of water, slowly raise temperature to 45° C. after the dropwise addition, take reaction for 3 h, and track the reaction process by TLC. Dropwise add concentrated HCl in an ice bath after the reaction to adjust pH value to 1-2, separate out a large amount of white precipitate, filter it and dry it to obtain 1.6 g of white solid, with a yield of 56%; mp 314-316° C. ¹H NMR (400 MHz, DMSO-D₆): δ 13.22 (brs, 1H), 8.57 (s, 1H), 8.30 (d, J=8.4 Hz, 1H), 7.62 (d, J=9.2 Hz, 1H), 7.57 (d, J=8.0 Hz, 2H), 7.39 (d, J=8.0 Hz, 2H), 3.57 (s, 3H).

Step G: Preparation of (3-oxo-1-cyclohexenyl)-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate

Add 1 g of 3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylic acid to a 50 mL single-neck flask, add 10 mL of THF, slowly dropwise add 1 g of SOCl₂, heat to 75° C. after the dropwise addition, react under reflux for 2 h, track the reaction process by TLC, and dry off the solvent after the reaction. Add 20 mL of dry CHCl₃, 0.41 g of 1,3-cyclohexanedione, and 0.4 g of Et₃N, react under agitation for about 0.5 h, and track the reaction process by TLC. Wash with 20 mL of water once, with 10 mL of 1 mol/L HCl twice and 10 mL of saturated NaHCO₃ twice after the reaction, dry with anhydrous Na₂SO₄, and pass the column, with eluent being petroleum ether:acetone=5:1. 0.79 g of white solid is obtained, with a yield of 62%; mp 179-181° C. ¹H NMR (600 MHz, CDCl₃): δ 8.93 (s, 1H), 8.41 (d, J=8.4 Hz, 1H), 7.51 (d, J=8.4 Hz, 2H), 7.39 (d, J=9.0 Hz, 1H), 7.22 (d, J=8.4 Hz, 2H), 6.08 (s, 1H), 3.70 (s, 3H), 2.70 (t, J=6.0 Hz, 2H), 2.48 (t, J=6.0 Hz, 2H), 2.14 (quintuplet, J=6.6 Hz, 2H).

Preparation Example 2

This preparation example is for preparing (3-oxo-1-cyclohexenyl)-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate, and includes the following steps:

Step A: Preparation of methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazolinone-6-carboxylate

Add 6.7 g of dimethyl 4-aminoisophthalate to a 100 mL double-neck flask, add 30 mL of pyridine and 6 g of o-methylphenylthioisocyanate and react at 100° C. overnight. Add 40 mL of water after the reaction, stir for 30 min, separate out a large amount of white solid, perform suction filtration and wash the solid with diethyl ether. Dry the solid to obtain a pure product. The output is 9.45 g and the yield is 90%; mp 227-229° C. ¹H NMR (600 MHz, DMSO-D₆): δ 13.38 (s, 1H), 8.47 (s, 1H), 8.30 (d, J=9.0 Hz, 1H), 7.53 (d, J=9.0 Hz, 1H), 7.36-7.28 (m, 3H), 7.23 (d, J=7.2 Hz, 1H), 3.89 (s, 3H), 2.06 (s, 3H).

Step B: Preparation of methyl-1-methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazolinone-6-carboxylate

Add 7 g of methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazolinone-6-carboxylate to a 250 mL single-neck

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flask, add 90 mL of DMF and 8.4 g of Cs_2CO_3 , and react at room temperature under agitation for about 30 min. Dropwise add 10 g of CH_3I , and react at room temperature under agitation overnight. Add 100 mL of water after the reaction, separate out a large amount of white precipitate, perform suction filtration, wash the solid with water, and dry it to obtain 6.21 g of white solid, with a yield of 85%; mp 160-162° C. ^1H NMR (600 MHz, DMSO-D_6): δ 8.64 (s, 1H), 8.33 (d, $J=8.4$ Hz, 1H), 7.74 (d, $J=8.4$ Hz, 1H), 7.52-7.45 (m, 2H), 7.44-7.38 (m, 2H), 3.91 (s, 3H), 2.53 (s, 4H), 2.07 (s, 3H).

Step C: Preparation of 1-methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazolinone-6-carboxylic acid

Add 6.5 g of methyl-1-methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazolinone-6-carboxylate to a 250 mL reaction flask, add 80 mL of methanol, dropwise add a solution consisting of 2 g of $\text{LiOH}\cdot\text{H}_2\text{O}$ and 80 mL of water, slowly raise temperature to 45° C. after the dropwise addition, react for 3 h and track the reaction process by TLC. Remove THF and methanol under reduced pressure after the reaction. Extract the obtained liquid with ethyl acetate twice, 20 mL each time. Neutralize the water layer in an ice bath with concentrated HCl till pH value is 1-2. Let it rest and separate out a large amount of white solid, filter the solid, wash the obtained precipitate with diethyl ether and dry it to obtain 3 g of white solid, with a yield of 48%; mp 266-268° C. ^1H NMR (400 MHz, DMSO-D_6): δ 13.22 (brs, 1H), 8.57 (s, 1H), 8.30 (d, $J=8.4$ Hz, 1H), 7.62 (d, $J=9.2$ Hz, 1H), 7.57 (d, $J=8.0$ Hz, 2H), 7.39 (d, $J=8.0$ Hz, 2H), 3.57 (s, 3H).

Step D

Preparation of 5,5-dimethyl-(3-oxo-1-cyclohexenyl)-1-methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazoline-6-carboxylate

Add 0.9 g of 1-methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazolinone-6-carboxylic acid to a 100 mL single-neck flask, add 18 mL of dry THF, slowly dropwise add 1.8 g of SOCl_2 at room temperature, react under reflux at 75° C. for about 3 h after the dropwise addition, and dry off the solvent after the reaction.

Add 50 mL of dry CHCl_3 , 0.43 g of 5,5-dimethyl-1,3-cyclohexanedione, and 0.5 g of Et_3N , react for about 0.5 h and track the reaction process by TLC. Wash with 20 mL of water once, with 1 mol/l HCl twice, 10 mL each time and with saturated NaHCO_3 twice, 10 mL each time after the reaction, dry with anhydrous Na_2SO_4 , pass the column, with eluent being petroleum ether:acetone=4:1. 1 g of white solid is obtained, with a yield of 80%; mp 128-130° C. ^1H NMR (600 MHz, CDCl_3): δ 8.97 (s, 1H), 8.38 (d, $J=8.4$ Hz, 1H), 7.73 (d, $J=8.4$ Hz, 1H), 7.48 (t, $J=7.8$ Hz, 1H), 7.42 (d, $J=7.8$ Hz, 1H), 7.39 (t, $J=7.8$ Hz, 1H), 7.22 (d, $J=7.8$ Hz, 1H), 6.09 (s, 1H), 2.58 (s, 2H), 2.57 (s, 3H), 2.34 (s, 2H), 2.17 (s, 3H), 1.17 (s, 6H).

Preparation Example 3

This preparation example is for preparing (3-oxo-1-cyclohexenyl)-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate, and includes the following steps:

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Step A: Preparation of 6-amino-3-iodine-2-dimethylbenzoic acid

Add 3 g of 2-amino-6-methyl benzoic acid to a 100 mL reaction flask at room temperature, add 30 mL of glacial acetic acid (dose 1 mmol=2 mL) under agitation, dissolve 4 g of ICl in 10 mL of glacial acetic acid, dropwise add the solution into the foregoing reaction system under agitation within 15 min, and continue to react under agitation for about 2.5 h after the dropwise addition. Filter the reaction solution under reduced pressure after the reaction, wash the obtained solid with 10 mL of acetonitrile and 10 mL of glacial acetic acid respectively, and dry it to obtain 4.07 g of off-white solid, with a yield of 74%; melting point: 186-188° C. ^1H NMR (600 MHz, DMSO-D_6): δ 8.97 (brs, 3H), 7.72 (d, $J=8.4$ Hz, 1H), 6.75 (d, $J=7.8$ Hz, 1H), 2.40 (s, 3H).

Step B: Preparation of 6-iodine-5-methyl-3-(2-(trifluoromethyl)phenyl)quinazoline-2,4(1H,3H)-dione

Add 5 g of 6-amino-3-iodine-2-dimethylbenzoic acid to a 100 mL double-neck flask, add 36 mL of pyridine, and slowly add 4.22 g of o-trifluoromethylphenylisocyanate to the system under agitation. Heat the reaction solution to 100° C., react overnight, remove pyridine through reduced pressure distillation after the reaction, dissolve the obtained solid in acetone, and pass the column (the eluent is petroleum:acetone=6:1) to obtain 6 g of white solid, with a yield of 75%; melting point: 195-197° C. ^1H NMR (600 MHz, DMSO-D_6): δ 11.72 (s, 1H), 8.14 (d, $J=9.0$ Hz, 1H), 7.88 (d, $J=7.8$ Hz, 1H), 7.84 (t, $J=7.8$ Hz, 1H), 7.70 (t, $J=7.8$ Hz, 1H), 7.62 (d, $J=7.8$ Hz, 1H), 6.94 (d, $J=8.4$ Hz, 1H), 2.80 (s, 3H).

Step C: Preparation of 6-iodine-1,5-dimethyl-3-(2-(trifluoromethyl)phenyl)quinazoline-2,4(1H,3H)-dione

Add 5 g of 6-iodine-5-methyl-3-(2-(trifluoromethyl)phenyl)quinazoline-2,4(1H,3H)-dione to a 200 mL single-neck flask, add 50 mL of DMF, add 5 g of Cs_2CO_3 under agitation, continue the agitation and react for about 30 min. Slowly dropwise add 6 g of CH_3I to the reaction system, and react under agitation at room temperature overnight after the dropwise addition. Add 100 mL of water to the system after the reaction, and extract the reaction system with ethyl acetate 3 times, 50 mL each time. Merge the organic layer, dry it with anhydrous sodium sulfate, and pass the column (petroleum:acetone=10:3) to obtain 4.7 g of white product, with a yield of 91%; melting point: 195-197° C. ^1H NMR (600 MHz, CDCl_3): δ 8.15 (d, $J=9.0$ Hz, 1H), 7.83 (d, $J=7.8$ Hz, 1H), 7.73 (t, $J=7.8$ Hz, 1H), $J=7.8$ Hz, 1H), 7.35 (d, $J=7.8$ Hz, 1H), 6.93 (d, $J=9.0$ Hz, 1H), 3.61 (s, 3H), 2.96 (s, 3H).

Step D

Preparation of 1,5-dimethyl-2,4-dioxo-3-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinazoline-6-cyano

Add 7 g of 6-iodine-1,5-dimethyl-3-(2-(trifluoromethyl)phenyl)quinazoline-2,4(1H,3H)-dione, and 8 g of CuCN to a 200 mL double-neck flask and add 60 mL of dry DMF. React under reflux for 12 h, remove DMF through reduced pressure distillation after the reaction, add 60 mL of acetone to the reaction flask after cooling, stir violently for 20 min, and remove unreacted CuCN by filtration. Pass the filtrate

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through the column (eluent:petroleum:acetone=10:3) to obtain 4.5 g of white solid, with a yield of 82%, melting point: 224-226° C. ¹H NMR (600 MHz, CDCl₃): δ 7.91 (d, J=8.4 Hz, 1H), 7.85 (d, J=7.8 Hz, 1H), 7.75 (t, J=7.8 Hz, 1H), 7.63 (t, J=7.8 Hz, 1H), 7.35 (d, J=7.2 Hz, 1H), 7.27 (d, J=8.4 Hz, 1H), 3.67 (s, 3H), 2.97 (s, 3H).

Step E: Preparation of 1,5-dimethyl-2,4-dioxo-3-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinazoline-6-carboxylic acid

Add 4.5 g of 1,5-dimethyl-2,4-dioxo-3-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinazoline-6-cyano to a 250 mL reaction flask, and add 50 mL of glacial acetic acid, 50 mL of water and 50 mL of concentrated H₂SO₄ under agitation. Raise temperature to 120° C., react for 12 h, cool to room temperature after the reaction, pour the reaction system to a beaker containing 200 mL of icy water, add 100 mL of ethyl acetate to the beaker to extract the organic layer, extract the water layer with 100 mL of ethyl acetate twice, merge organic layer after extraction, further extract the organic layer with 50% NaOH solution 3 times, 30 mL each time, merge the water layer, acidify the water layer with concentrated HCl till pH value is 1-2, let it rest to separate out a large amount of white solid, and perform suction filtration to obtain 1.8 g of pure product, with a yield of 38%; melting point: 296-298° C. ¹H NMR (600 MHz, DMSO-D₆): δ 13.23 (s, 1H), 8.07 (d, J=9.0 Hz, 1H), 7.89 (d, J=7.8 Hz, 1H), 7.85 (t, J=7.8 Hz, 1H), 7.71 (t, J=7.8 Hz, 1H), 7.63 (d, J=7.8 Hz, 1H), 7.47 (d, J=9.0 Hz, 1H), 3.56 (s, 3H), 2.82 (s, 3H).

Step F: Preparation of (3-oxo-1-cyclohexenyl)-1,5-dimethyl-2,4-dioxo-3-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinazoline-6-carboxylate

Add 1 g of 1,5-dimethyl-2,4-dioxo-3-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinazoline-6-carboxylic acid to a 50 mL single-neck flask, add 18 mL of dry THF, slowly dropwise add 1.8 g of SOCl₂ at room temperature, react under reflux at 75° C. for about 1.5 h after the dropwise addition, track the reaction process by TLC, and dry off the solvent after the reaction. Add 20 mL of dry CHCl₃, 0.41 g of 1,3-cyclohexanedione, 0.43 g of Et₃N, react for about 0.5 h, and track the reaction by TLC until acyl chloride disappears. Wash with 20 mL of water once, with 1 mol/L of HCl twice, 10 mL each time and with saturated NaHCO₃ twice, 10 mL each time after the reaction, dry it with anhydrous Na₂SO₄, and pass the column, with eluent being petroleum:acetone=4:1. The output is 0.79 g, and the yield is 62%; mp 157-159° C. ¹H NMR (600 MHz, CDCl₃): δ 8.18 (d, J=8.4 Hz, 1H), 7.85 (d, J=7.8 Hz, 1H), 7.75 (t, J=7.8 Hz, 1H), 7.63 (t, J=7.8 Hz, 1H), 7.37 (d, J=7.8 Hz, 1H), 7.25 (d, J=8.4 Hz, 1H), 6.05 (s, 1H), 3.68 (s, 3H), 3.00 (s, 3H), 2.70 (t, J=6.0 Hz, 2H), 2.49 (t, J=6.0 Hz, 2H), 2.15 (quintuplet, J=6.0 Hz, 2H).

Example 1

This example prepares 3-(4-chlorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexenyl)carbonyl]-1-methylquinazoline-2,4-(1H,3H)-dione according to the synthetic route as shown in FIG. 1.

Add 0.7 g of (3-oxo-1-cyclohexenyl)-3-(4-chlorophenyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolinone-6-carboxylate obtained in preparation example 1 of the present invention to a 50 mL double-neck flask, add 28 mL of anhydrous acetonitrile, add 0.58 g of Et₃N and 0.014 g (10% equivalent) of acetone cyanohydrin under the protection of

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N₂. React at room temperature for 20 h, and track the reaction by TLC until the raw materials disappear. Dry off acetonitrile after the reaction, and add about 38 mL of CHCl₃. Wash with 1 mol/L HCl 3 times, 10 mL each time and with saturated sodium chloride 3 times, 10 mL each time, and dry the organic layer with anhydrous sodium sulfate. Remove the solvent under reduced pressure to obtain light yellow oily substance, and recrystallize the oily substance with 10 mL of methanol to obtain 0.53 g of light yellow solid, with a yield of 75%; mp 234-236° C. ¹H NMR (600 MHz, CDCl₃): δ 16.77 (s, 1H), 8.39 (d, J=1.8 Hz, 1H), 7.90 (dd, J=8.4, 1.8 Hz, 1H), 7.48 (d, J=8.4 Hz, 2H), 7.28 (d, J=9.0 Hz, 1H), 7.21 (d, J=8.4 Hz, 2H), 3.66 (s, 3H), 2.77 (t, J=6.6 Hz, 2H), 2.51 (t, J=6.6 Hz, 2H), 2.09 (quintuplet, J=6.6 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃): δ 196.44, 196.33, 194.23, 160.98, 150.66, 142.85, 135.45, 134.58, 133.69, 133.07, 130.19, 129.71, 129.51, 114.86, 113.10, 113.01, 37.90, 32.16, 31.03, 18.90.

Example 2

This example prepares 6-[(2-hydroxy-4,4-dimethyl-6-oxo-1-cyclohexenyl)carbonyl]-1-methyl-2-thio-3-(o-tolyl)-2,3-dihydroxyquinazoline-4(1H)-ketone according to the synthetic route as shown in FIG. 1.

Add 0.85 g of 5,5-dimethyl-(3-oxo-1-cyclohexenyl)-1-methyl-4-O-2-S-3-(o-tolyl)-1,2,3,4-tetrahydroquinazoline-6-carboxylate obtained in preparation example 2 of the present invention to a 100 mL double-neck flask, add 38 mL of anhydrous dichloromethane, add 0.38 g of Et₃N and 0.01 g (10% equivalent) of acetone cyanohydrin under the protection of N₂. React at room temperature for 10 h, and track the reaction by TLC until the raw materials disappear. Extract with 1 mol/L HCl 3 times, 10 mL each time, wash with saturated sodium chloride 3 times, 10 mL each time, and dry the organic layer with anhydrous sodium sulfate. Dry off the solvent, and recrystallize the product with 10 mL of methanol to obtain 0.65 g of light yellow solid, with a yield of 77%; mp 239-241° C. ¹H NMR (600 MHz, CDCl₃): δ 16.89 (s, 1H), 8.40 (s, 1H), 7.85 (d, J=8.4 Hz, 1H), 7.64 (d, J=8.4 Hz, 1H), 7.45 (t, J=7.2 Hz, 1H), 7.38 (dd, J=19.2, 8.4 Hz, 2H), 7.20 (d, J=7.8 Hz, 1H), 2.66 (s, 2H), 2.55 (s, 3H), 2.41 (s, 2H), 2.16 (s, 3H), 1.16 (s, 6H). ¹³C NMR (101 MHz, CDCl₃): δ 196.67, 195.29, 193.96, 160.55, 160.29, 150.05, 136.75, 135.09, 134.67, 134.17, 131.32, 130.29, 129.02, 128.48, 127.27, 125.49, 118.88, 112.26, 52.02, 45.93, 30.99, 28.26, 17.37, 15.37.

Example 3

This example prepares 6-[(2-hydroxy-6-oxo-1-cyclohexenyl)carbonyl]-1,5-dimethyl-3-(2-(trifluoromethyl)phenyl)quinazoline-2,4(1H,3H)-dione according to the synthetic route as shown in FIG. 2.

Add 0.7 g of (3-oxo-1-cyclohexenyl)-1,5-dimethyl-2,4-dioxo-3-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinazoline-6-carboxylate obtained in preparation example 3 of the present invention to a 50 mL double-neck flask, add 28 mL of anhydrous acetonitrile, and add 0.38 g of Et₃N, 0.018 g (10% equivalent) of acetone cyanohydrin under the protection of N₂. React at room temperature for 8 h, and track the reaction by TLC until the raw materials disappear. Dry off acetonitrile after the reaction and add about 30 mL of CHCl₃. Wash with 1 mol/L HCl 3 times, 10 mL each time and with saturated sodium chloride 3 times, 10 mL each time, and dry the organic layer with anhydrous sodium sulfate. Remove the solvent under reduced pressure to obtain light yellow oily substance, and recrystallize the oily

substance with 10 mL of methanol to obtain 0.55 g of light yellow solid, with a yield of 78%; mp 262-264° C. ¹H NMR (600 MHz, CDCl₃): δ 17.64 (s, 1H), 7.83 (d, J=7.2 Hz, 1H), 7.72 (t, J=7.8 Hz, 1H), 7.60 (t, J=7.2 Hz, 1H), 7.41 (d, J=8.4 Hz, 1H), 7.35 (d, J=7.8 Hz, 1H), 7.20 (d, J=8.4 Hz, 1H), 3.64

(s, 3H), 2.81 (t, J=6.0 Hz, 2H), 2.65 (s, 3H), 2.46 (t, J=6.0 Hz, 2H), 2.07 (quintuplet, J=6.0 Hz, 2H).

By the foregoing similar method, a series of compounds as shown in Table A and Table B were also synthesized. All the compounds have been confirmed by NMR and HRMS.

TABLE A

NO.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸	R ⁹	Z
1	H	4-Cl-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
2	H	4-Cl-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
3	H	3-Cl-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
4	H	3-Cl-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
5	H	2-Cl-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
6	H	2-Cl-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
7	H	3,5-di-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
8	H	3,5-di-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
9	H	4-F-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
10	H	3-F-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
11	H	3-F-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
12	H	2-F-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
13	H	2-F-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
14	H	4-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
15	H	4-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
16	H	4-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	CH ₃	H	H	O
17	H	3-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
18	H	3-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
19	H	3-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	H	H	H	O
20	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
21	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
22	H	4-F-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
23	H	3-Br-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
24	H	3-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
25	H	4-Br-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
26	H	4-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
27	H	2-Br-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
28	H	2-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
29	H	2-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
30	H	4-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
31	H	4-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
32	H	2,6-di-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
33	H	2-CH ₃ -5-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
34	H	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
35	H	C ₆ H ₅	CH ₃	H	H	H	H	H	H	O
36	H	4-CH(CH ₃) ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
37	H	2-CH ₃ -5-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
38	H	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
39	H	2-OCF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
40	H	C ₆ H ₅	CH ₃	H	H	CH ₃	CH ₃	H	H	O
41	H	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
42	H	C ₆ H ₅	CH ₃	H	H	CH ₃	H	H	H	O
43	H	4-Cl-C ₆ H ₄	CH ₂ CH ₃	H	H	H	H	H	H	O
44	H	1-naphthyl	CH ₃	H	H	H	H	H	H	O
45	H	4-NO ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
46	H	2,6-di-CH(CH ₃) ₂ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
47	H	2-CH ₂ CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
48	H	2-OCH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
49	H	4-OCF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
50	H	4-OCF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
51	H	3-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
52	H	3-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
53	H	4-NO ₂ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
54	H	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	CH ₃	CH ₃	H	H	H	H	O
55	H	3-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
56	H	3-OCH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
57	H	4-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
58	H	4-OCH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
59	H	2-CH ₃ -C ₆ H ₄	H	H	H	CH ₃	CH ₃	H	H	O
60	H	2-Cl-5-CF ₃ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
61	H	2-Cl-5-CF ₃ -C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
62	H	2,4-di-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
63	H	2,4-di-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
64	H	2,4-di-Cl-C ₆ H ₃	CH ₃	H	H	H	CH ₃	H	H	O
65	H	2-CH(CH ₃) ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
66	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₃	H	H	H	H	H	H	O
67	H	2,4,6-tri-CH ₃ -C ₆ H ₂	CH ₃	H	H	H	H	H	H	O
68	H	2-CH ₃ -C ₆ H ₄	H	H	H	H	H	H	H	O
69	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₃	H	H	CH ₃	CH ₃	H	H	O
70	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₃	H	H	H	CH ₃	H	H	O

TABLE B

NO.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸	R ⁹	Z
71	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₃	H	H	H	H	H	H	O
72	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₃	H	H	CH ₃	CH ₃	H	H	O
73	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₂ CH ₃	H	H	H	H	H	H	O
74	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₂ CH ₃	H	H	CH ₃	CH ₃	H	H	O
75	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH(CH ₃) ₂	H	H	H	H	H	H	O
76	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH(CH ₃) ₂	H	H	CH ₃	CH ₃	H	H	O
77	H	2-CH ₃ -C ₆ H ₄	CH ₂ C=CH	H	H	H	H	H	H	O
78	H	2-CH ₃ -C ₆ H ₄	CH ₂ C=CH	H	H	CH ₃	CH ₃	H	H	O
79	H	2-CH ₃ -C ₆ H ₄	CH ₂ C ₆ H ₅	H	H	H	H	H	H	O
80	H	2-CH ₃ -C ₆ H ₄	CH ₂ C ₆ H ₅	H	H	CH ₃	CH ₃	H	H	O
81	H	2-CH ₃ -C ₆ H ₄	CH ₂ -3-OCH ₃ -C ₆ H ₄	H	H	CH ₃	CH ₃	H	H	O
82	CH ₃	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
83	H	2-CH ₃ -C ₆ H ₄	CH ₂ -2-F-C ₆ H ₄	H	H	H	H	H	H	O
84	H	2-CH ₃ -C ₆ H ₄	CH ₂ -3-OCH ₃ -C ₆ H ₄	H	H	H	H	H	H	O
85	H	2-CH ₃ -C ₆ H ₄	CH ₂ -2-F-C ₆ H ₄	H	H	CH ₃	CH ₃	H	H	O
86	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	S
87	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	S
88	CH ₃	2-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
89	H	2-CH ₂ CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
90	H	4-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	H	H	H	O
91	CH ₃	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
92	CH ₃	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
93	CH ₃	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
94	H	2,6-di-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
95	H	2-CH ₃ -6-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
96	H	2-CH ₃ -6-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
97	H	2-CH(CH ₃) ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
98	H	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O

The physical properties and NMR characteristic data of each compound are shown in Table 1.

TABLE 1

NO.	Appearance	Melting point/° C.	¹ H NMR
1	Light yellow solid	234-236	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.48 (d, J = 8.4 Hz, 2H), 7.28 (d, J = 9.0 Hz, 1H), 7.21 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
2	Light yellow solid	146-148	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.38 (d, J = 1.2 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.48 (d, J = 8.4 Hz, 2H), 7.28 (d, J = 9.0 Hz, 1H), 7.21 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.15 (s, 6H).
3	Light yellow solid	139-141	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.47-7.42 (m, 2H), 7.28 (d, J = 8.4 Hz, 2H), 7.17-7.18 (m, 1H), 3.66 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
4	Light yellow solid	173-175	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.49-7.41 (m, 2H), 7.28 (d, J = 8.4 Hz, 2H), 7.19-7.15 (m, 1H), 3.66 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
5	Light yellow solid	202-204	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.43 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 8.4, 1.8 Hz, 1H), 7.59-7.55 (m, 1H), 7.43 (t, J = 3.0 Hz, 1H), 7.41 (t, J = 3.6 Hz, 1H), 7.32 (dt, J = 6.0, 3.6 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (td, J = 9.6, 3.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
6	Light yellow solid	200-202	¹ H NMR (600 MHz, CDCl ₃): δ 16.86 (s, 1H), 8.42 (s, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.60-7.55 (m, 1H), 7.45-7.40 (m, 2H), 7.35-7.31 (m, 1H), 7.29 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (d, J = 6.0 Hz, 6H).
7	Light yellow solid	140-142	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 8.4, 1.8 Hz, 1H), 7.46 (t, J = 1.8 Hz, 1H), 7.29 (d, J = 9.0 Hz, 1H), 7.20 (d, J = 1.8 Hz, 2H), 3.66 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.10 (quintuplet, J = 6.6 Hz, 2H).
8	Light yellow solid	223-225	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.37 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.46 (t, J = 1.8 Hz, 1H), 7.29 (d, J = 9.0 Hz, 1H), 7.20 (d, J = 1.8 Hz, 2H), 3.66 (s, 3H), 2.67 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ H NMR
9	White solid	172-174	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 9.0, 1.8 Hz, 1H), 7.28 (d, J = 9.0 Hz, 1H), 7.24 (dd, J = 9.0, 4.8 Hz, 2H), 7.20 (t, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
10	Light brown solid	148-150	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.48 (dd, J = 14.4, 8.4 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.17 (td, J = 8.4, 1.8 Hz, 1H), 7.07 (d, J = 7.8 Hz, 1H), 7.02 (d, J = 9.0 Hz, 1H), 3.67 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
11	Light brown solid	147-149	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 9.0, 1.8 Hz, 1H), 7.48 (dd, J = 14.4, 8.4 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.17 (td, J = 8.4, 1.8 Hz, 1H), 7.07 (d, J = 7.8 Hz, 1H), 7.02 (d, J = 9.0 Hz, 1H), 3.67 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.14 (s, 6H).
12	Light brown solid	148-150	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.47-7.43 (m, 1H), 7.33-7.30 (m, 1H), 7.29 (d, J = 8.4 Hz, 2H), 7.25 (t, J = 9.0 Hz, 1H), 3.68 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
13	Light brown solid	192-194	¹ H NMR (600 MHz, CDCl ₃): δ 16.84 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.47-7.43 (m, 1H), 7.33-7.30 (m, 1H), 7.29 (d, J = 8.4 Hz, 2H), 7.24 (t, J = 9.0 Hz, 1H), 3.68 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (d, J = 3.6 Hz, 6H).
14	Light brown solid	201-203	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.31 (d, J = 8.4 Hz, 2H), 7.27 (d, J = 8.4 Hz, 1H), 7.14 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.50 (t, J = 6.6 Hz, 2H), 2.41 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
15	Light yellow solid	168-170	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.87 (dd, J = 8.4, 1.8 Hz, 1H), 7.31 (d, J = 8.4 Hz, 2H), 7.27 (d, J = 8.4 Hz, 1H), 7.14 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.65 (s, 2H), 2.41 (s, 3H), 2.39 (s, 2H), 1.15 (s, 6H).
16	Light yellow solid	230-232	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.31 (d, J = 8.4 Hz, 2H), 7.27 (d, J = 8.4 Hz, 1H), 7.14 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.81 (dd, J = 18.0, 2.4 Hz, 1H), 2.59 (dd, J = 16.2, 2.4 Hz, 1H), 2.48 (dd, J = 18.0, 10.8 Hz, 1H), 2.41 (s, 3H), 2.35 (td, J = 17.4, 6.6 Hz, 1H), 2.20 (dd, J = 16.8, 11.4 Hz, 1H), 1.15 (d, J = 6.6 Hz, 3H).
17	Light yellow solid	100-102	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.40 (t, J = 7.8 Hz, 1H), 7.27 (m, 2H), 7.06 (d, J = 10.2 Hz, 2H), 3.66 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.41 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
18	Light yellow solid	183-185	¹ H NMR (600 MHz, CDCl ₃): δ 16.81 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.40 (t, J = 7.8 Hz, 1H), 7.27 (m, 2H), 7.06 (d, J = 10.2 Hz, 2H), 3.66 (s, 3H), 2.66 (s, 2H), 2.40 (s, 3H), 2.39 (s, 2H), 1.15 (s, 6H).
19	White solid	140-142	¹ H NMR (600 MHz, CDCl ₃): δ 16.75 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.40 (t, J = 7.8 Hz, 1H), 7.27 (m, 2H), 7.06 (d, J = 9.6 Hz, 2H), 3.66 (s, 3H), 2.81 (dd, J = 18.0, 2.4 Hz, 1H), 2.59 (dd, J = 16.2 Hz, 2.4 Hz, 1H), 2.48 (dd, J = 18.0, 10.8 Hz, 1H), 2.40 (s, 3H), 2.35 (td, J = 17.4, 6.6 Hz, 1H), 2.20 (dd, J = 16.8, 11.4 Hz, 1H), 1.15 (d, J = 6.6 Hz, 3H).
20	Light yellow solid	209-211	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.38-7.35 (m, 2H), 7.35-7.31 (m, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.14 (d, J = 7.8 Hz, 1H), 3.67 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.16 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
21	Light yellow solid	152-154	¹ H NMR (600 MHz, CDCl ₃): δ 16.87 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.38-7.35 (m, 2H), 7.35-7.32 (m, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.15 (d, J = 7.2 Hz, 1H), 3.68 (s, 3H), 2.67 (s, 2H), 2.41 (s, 2H), 2.16 (s, 3H), 1.16 (d, J = 4.2 Hz, 6H).
22	Light brown solid	148-150	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.24 (dd, J = 9.0, 4.8 Hz, 2H), 7.20 (t, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
23	Light yellow solid	137-139	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.59 (d, J =

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ H NMR
			8.4 Hz, 1H), 7.44 (s, 1H), 7.39 (t, J = 7.8 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.22 (d, J = 7.8 Hz, 1H), 3.66 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
24	Light yellow solid	167-169	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.59 (d, J = 8.4 Hz, 1H), 7.44 (s, 1H), 7.39 (t, J = 7.8 Hz, 1H), 7.28 (d, J = 7.8 Hz, 1H), 7.22 (d, J = 8.1 Hz, 1H), 3.66 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
25	Light yellow solid	225-227	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 9.0, 1.8 Hz, 1H), 7.64 (d, J = 8.4 Hz, 2H), 7.29 (d, J = 8.4 Hz, 1H), 7.15 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
26	Light yellow solid	134-136	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 9.0, 1.8 Hz, 1H), 7.64 (d, J = 8.4 Hz, 2H), 7.28 (d, J = 9.0 Hz, 1H), 7.14 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.66 (s, 2H), 2.39 (s, 2H), 1.15 (s, 6H).
27	Light yellow solid	212-214	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.43 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 9.0, 1.8 Hz, 1H), 7.74 (d, J = 7.8 Hz, 1H), 7.47 (t, J = 7.8 Hz, 1H), 7.37-7.28 (m, 3H), 3.68 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (dd, J = 10.8, 6.0 Hz, 2H), 2.12-2.06 (m, 2H).
28	Light yellow solid	225-227	¹ H NMR (600 MHz, CDCl ₃): δ 16.87 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.74 (d, J = 7.8 Hz, 1H), 7.47 (t, J = 7.2 Hz, 1H), 7.37-7.28 (m, 3H), 3.67 (s, 3H), 2.70-2.61 (m, 2H), 2.43-2.35 (m, 2H), 1.15 (d, J = 8.4 Hz, 6H).
29	Light yellow solid	185-184	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.41 (d, J = 1.2 Hz, 1H), 7.88 (dd, J = 8.4, 1.2 Hz, 1H), 7.42 (t, J = 7.2 Hz, 1H), 7.27 (d, J = 8.4 Hz, 1H), 7.20 (dd, J = 7.8, 1.2 Hz, 1H), 7.20-7.10 (m, 2H), 3.78 (s, 3H), 3.65 (s, 3H), 2.75 (t, J = 6.0 Hz, 2H), 2.50 (t, J = 6.6 Hz, 2H), 2.07 (quintuplet, J = 6.6 Hz, 2H).
30	White solid	236-238	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.92 (dd, J = 9.0, 1.8 Hz, 1H), 7.79 (d, J = 8.4 Hz, 2H), 7.41 (d, J = 8.4 Hz, 2H), 7.30 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.10 (quintuplet, J = 6.6 Hz, 2H).
31	White solid	162-164	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.39 (s, 1H), 7.90 (d, J = 7.8 Hz, 1H), 7.79 (d, J = 8.4 Hz, 2H), 7.42 (d, J = 7.8 Hz, 2H), 7.30 (d, J = 9.0 Hz, 1H), 3.68 (s, 3H), 2.67 (s, 2H), 2.40 (s, 2H), 1.16 (s, 7H).
32	White solid	200-202	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.45 (s, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.47 (d, J = 7.8 Hz, 2H), 7.35 (t, J = 7.8 Hz, 1H), 7.30 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.76 (t, J = 6.6 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.08 (quintuplet, J = 6.6 Hz, 2H).
33	Yellow solid	202-204	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 8.4, 1.8 Hz, 1H), 7.34 (dd, J = 8.4, 1.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 2H), 7.17 (d, J = 1.8 Hz, 1H), 3.67 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.52 (t, J = 6.6 Hz, 2H), 2.12 (s, 3H), 2.10 (quintuplet, J = 6.6 Hz, 2H).
34	Yellow solid	187-189	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.45 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 9.0, 1.8 Hz, 1H), 7.29 (d, J = 9.0 Hz, 1H), 7.24 (d, J = 7.2 Hz, 1H), 7.18 (d, J = 7.2 Hz, 2H), 3.69 (s, 3H), 2.78 (t, J = 6.0 Hz, 2H), 2.52 (t, J = 6.6 Hz, 2H), 2.14-2.06 (m, 8H).
35	White solid	125-127	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 9.0, 1.8 Hz, 1H), 7.52 (t, J = 7.8 Hz, 2H), 7.45 (t, J = 7.2 Hz, 1H), 7.30-7.24 (m, 3H), 3.67 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.0 Hz, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
36	White solid	206-208	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 9.0, 1.8 Hz, 1H), 7.36 (d, J = 8.4 Hz, 2H), 7.28 (d, J = 8.0 Hz, 1H), 7.17 (d, J = 7.8 Hz, 2H), 3.67 (s, 3H), 2.98 (dq, J = 13.8, 6.6 Hz, 1H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H), 1.29 (d, J = 6.6 Hz, 6H).
37	Light yellow solid	133-235	¹ H NMR (600 MHz, CDCl ₃): δ 16.85 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.34 (dd, J = 8.4, 1.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 2H), 7.17 (d, J = 1.8 Hz, 1H), 3.67 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 2.12 (s, 3H), 1.16 (s, 6H).
38	Light yellow solid	199-201	¹ H NMR (600 MHz, CDCl ₃): δ: 16.81 (s, 1H), 8.42 (s, 1H), 7.92 (d, J = 8.4 Hz, 1H), 7.84 (d, J = 7.8 Hz, 1H), 7.73 (t, J = 7.8 Hz, 1H), 7.62 (t, J = 7.8 Hz, 1H), 7.35 (d,

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ HNMR
			J = 7.8 Hz, 1H), 7.30 (d, J = 9.0 Hz, 1H), 3.67 (s, 3H), 2.78 (t, J = 6.0 Hz, 2H), 2.57-2.46 (m, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
39	Light yellow solid	98-100	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 9.0, 1.8 Hz, 1H), 7.51 (t, J = 7.8 Hz, 1H), 7.43 (dd, J = 12.6, 6.6 Hz, 2H), 7.35 (d, J = 7.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 3.67 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.56-2.45 (m, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
40	Light yellow solid	175-177	¹ H NMR (600 MHz, CDCl ₃): δ 16.84 (s, 1H), 8.39 (s, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.53 (t, J = 7.8 Hz, 2H), 7.46 (t, J = 7.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.27 (d, J = 8.4), 3.67 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
41	White solid	221-223	¹ H NMR (600 MHz, CDCl ₃): δ 16.90 (s, 1H), 8.41 (s, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.84 (d, J = 7.8 Hz, 1H), 7.73 (t, J = 7.8 Hz, 1H), 7.62 (t, J = 7.8 Hz, 1H), 7.35 (d, J = 7.8 Hz, 1H), 7.30 (d, J = 9.0 Hz, 1H), 3.67 (s, 3H), 2.70 (t, J = 1.8 Hz, 2H), 2.41 (dd, J = 23.4, 16.2 Hz, 2H), 1.16 (d, J = 10.2 Hz, 6H).
42	Light yellow solid	186-188	¹ H NMR (600 MHz, CDCl ₃): δ 16.75 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 9.0, 1.8 Hz, 1H), 7.52 (t, J = 7.8 Hz, 2H), 7.45 (t, J = 7.8 Hz, 1H), 7.27 (t, J = 9.0 Hz, 3H), 3.67 (s, 3H), 2.81 (ddd, J = 18.6, 4.2, 1.8 Hz, 1H), 2.59 (ddd, J = 16.8, 3.6, 1.8 Hz, 1H), 2.48 (dd, J = 18.0, 10.8 Hz, 1H), 2.40-2.31 (m, 1H), 2.20 (dd, J = 16.8, 11.4 Hz, 1H), 1.15 (d, J = 6.6 Hz, 3H).
43	Light yellow solid	231-233	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.90 (dd, J = 8.4, 1.8 Hz, 1H), 7.48 (d, J = 8.4 Hz, 2H), 7.28 (d, J = 8.4 Hz, 1H), 7.22 (d, J = 9.0 Hz, 2H), 4.24 (q, J = 7.2 Hz, 2H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H), 1.40 (t, J = 6.6 Hz, 3H).
44	White solid	200-202	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.44 (d, J = 1.8 Hz, 1H), 7.98 (d, J = 8.4 Hz, 1H), 7.94 (d, J = 7.8 Hz, 2H), 7.59 (dd, J = 15.6, 7.8 Hz, 2H), 7.49 (dt, J = 19.8, 7.2 Hz, 2H), 7.44 (d, J = 7.2 Hz, 1H), 7.35 (d, J = 9.0 Hz, 1H), 3.71 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.0 Hz, 2H), 2.081 (quintuplet, J = 6.0 Hz, 2H).
45	Yellow solid	242-244	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.40 (s, 2H), 8.38 (s, 1H), 7.93 (dd, J = 9.0, 1.8 Hz, 1H), 7.49 (d, J = 8.4 Hz, 2H), 7.32 (d, J = 9.0 Hz, 1H), 3.68 (s, 3H), 2.79 (t, J = 6.0 Hz, 2H), 2.52 (t, J = 6.6 Hz, 2H), 2.10 (quintuplet, J = 6.6 Hz, 2H).
46	White solid	128-130	¹ H NMR (600 MHz, CDCl ₃): δ 16.90 (s, 1H), 8.47 (s, 1H), 7.88 (d, J = 8.4 Hz, 1H), 7.44 (t, J = 7.8 Hz, 1H), 7.29 (d, J = 7.2 Hz, 3H), 3.69 (s, 3H), 2.78 (t, J = 6.0 Hz, 2H), 2.68-2.59 (m, 2H), 2.53 (t, J = 6.6 Hz, 2H), 2.10 (quintuplet, J = 6.6 Hz, 2H), 1.16 (dd, J = 12.0, 6.6 Hz, 12H).
47	White solid	129-131	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.44 (s, 1H), 7.90 (d, J = 9.0 Hz, 1H), 7.41 (s, 2H), 7.36-7.30 (m, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.12 (d, J = 7.8 Hz, 1H), 3.67 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.47 (q, J = 7.8 Hz, 2H), 2.08 (quintuplet, J = 6.6 Hz, 2H), 1.17 (t, J = 7.8 Hz, 3H).
48	Light yellow solid	223-225	¹ H NMR (600 MHz, CDCl ₃): δ 16.85 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.86 (dd, J = 9.0, 1.8 Hz, 1H), 7.45-7.40 (m, 1H), 7.27 (d, J = 9.0 Hz, 2H), 7.20 (dd, J = 7.8, 1.2 Hz, 1H), 7.07 (t, J = 7.8 Hz, 1H), 7.05 (d, J = 8.4 Hz, 1H), 3.79 (s, 3H), 3.66 (s, 3H), 2.65 (s, 2H), 2.40 (s, 2H), 1.15 (d, J = 4.2 Hz, 6H).
49	White solid	137-139	¹ H NMR (600 MHz, CDCl ₃): δ 16.81 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 9.0, 1.8 Hz, 1H), 7.36 (d, J = 8.4 Hz, 2H), 7.31 (d, J = 9.0 Hz, 2H), 7.29 (d, J = 9.0 Hz, 1H), 3.67 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
50	Light yellow solid	222-224	¹ H NMR (600 MHz, CDCl ₃): δ 16.75 (s, 1H), 8.39 (s, 1H), 7.91 (d, J = 8.4 Hz, 1H), 7.36 (d, J = 7.8 Hz, 2H), 7.33-7.27 (m, 3H), 3.67 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.0 Hz, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
51	White solid	150-152	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.39 (s, 1H), 7.90 (d, J = 9.0 Hz, 1H), 7.72 (d, J = 7.8 Hz, 1H), 7.65 (t, J = 7.8 Hz, 1H), 7.57 (s, 1H), 7.47 (d, J = 7.8 Hz, 1H), 7.30 (d, J = 9.0 Hz, 1H), 3.67 (s, 3H), 2.66 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
52	Light yellow solid	116-118	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 8.4, 1.8 Hz, 1H), 7.72 (d, J = 7.8 Hz, 1H), 7.64 (t, J = 7.8 Hz, 1H), 7.56 (s, 1H), 7.47

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ H NMR
			(d, J = 7.8 Hz, 1H), 7.29 (d, J = 9.0 Hz, 1H), 3.66 (s, 3H), 2.77 (t, J = 6.6 Hz, 2H), 2.50 (t, J = 6.6 Hz, 2H), 2.08 (quintuplet, J = 6.0 Hz, 2H).
53	Light yellow solid	172-174	¹ H NMR (600 MHz, CDCl ₃): δ 16.84 (s, 1H), 8.41-7.36 (m, 3H), 7.90 (dd, J = 9.0, 1.8 Hz, 1H), 7.48 (d, J = 9.0 Hz, 2H), 7.31 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.67 (s, 2H), 2.40 (s, 2H), 1.16 (s, 6H).
54	Beige solid	217-219	¹ H NMR (600 MHz, CDCl ₃): δ 17.26 (s, 0.4H), 16.45 (s, 0.6H), 8.43 (d, J = 2.4 Hz, 0.4H), 8.37 (d, J = 2.4 Hz, 0.6H), 7.90 (dd, J = 9.0, 2.4 Hz, 0.4H), 7.85 (dd, J = 9.0, 2.4 Hz, 0.6H), 7.48 (s, 1H), 7.46 (s, 1H), 7.37-7.33 (m, 1H), 7.33-7.28 (m, 1H), 3.68 (s, 3H), 2.79 (t, J = 6.6 Hz, 1.4H), 2.55 (t, J = 6.6 Hz, 0.6H), 1.94 (t, J = 6.6 Hz, 0.6H), 1.91 (t, J = 6.6 Hz, 1.4H), 1.38 (s, 2H), 1.20 (s, 4H).
55	White solid	176-178	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.40 (s, 1H), 7.90 (d, J = 9.0 Hz, 1H), 7.42 (t, J = 7.8 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.00 (d, J = 9.0 Hz, 1H), 6.85 (d, J = 7.8 Hz, 1H), 6.80 (s, 1H), 3.82 (s, 3H), 3.67 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.0 Hz, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
56	White solid	223-225	¹ H NMR (600 MHz, CDCl ₃): δ 16.81 (s, 1H), 8.39 (d, J = 2.4 Hz, 1H), 7.88 (dd, J = 9.0, 2.4 Hz, 1H), 7.42 (t, J = 8.4 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.00 (dd, J = 8.4, 2.4 Hz, 1H), 6.87-6.83 (m, 1H), 6.80 (t, J = 2.4 Hz, 1H), 3.82 (s, 3H), 3.67 (s, 3H), 2.66 (s, 2H), 2.39 (s, 2H), 1.15 (s, 6H).
57	White solid	201-203	¹ H NMR (600 MHz, CDCl ₃): δ 16.77 (s, 1H), 8.40 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 9.0, 2.4 Hz, 1H), 7.27 (d, J = 8.4 Hz, 1H), 7.24-7.12 (m, 2H), 7.06-6.97 (m, 2H), 3.85 (s, 3H), 3.66 (s, 3H), 2.77 (t, J = 6.0 Hz, 2H), 2.51 (t, J = 6.6 Hz, 2H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
58	Light yellow solid	143-145	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.87 (dd, J = 9.0, 1.8 Hz, 1H), 7.27 (d, J = 7.8 Hz, 2H), 7.17 (d, J = 8.4 Hz, 2H), 7.02 (d, J = 9.0 Hz, 2H), 3.85 (s, 3H), 3.66 (s, 3H), 2.65 (s, 2H), 2.39 (s, 2H), 1.15 (s, 6H).
59	Light yellow solid	236-238	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 10.23 (s, 1H), 8.30 (d, J = 1.8 Hz, 1H), 7.60 (dd, J = 8.4, 1.8 Hz, 1H), 7.42-7.38 (m, 2H), 7.38-7.34 (m, 1H), 7.19 (d, J = 7.8 Hz, 1H), 6.68 (d, J = 8.4 Hz, 1H), 2.63 (s, 2H), 2.42 (s, 2H), 2.18 (s, 3H), 1.15 (d, J = 2.8 Hz, 6H).
60	Light yellow solid	135-137	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.92 (dd, J = 9.0, 1.8 Hz, 1H), 7.69 (q, J = 8.4 Hz, 2H), 7.61 (s, 1H), 7.31 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.77 (brs, 2H), 2.51 (brs, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H).
61	Light brown solid	128-130	¹ H NMR (600 MHz, CDCl ₃): δ 16.88 (s, 1H), 8.41 (d, J = 1.2 Hz, 1H), 7.91 (dd, J = 8.4, 1.8 Hz, 1H), 7.74-7.66 (m, 2H), 7.61 (s, 1H), 7.32 (d, J = 8.4 Hz, 1H), 3.69 (s, 3H), 2.67 (s, 2H), 2.46-2.35 (m, 2H), 1.16 (d, J = 7.8 Hz, 6H).
62	Light yellow solid	166-168	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.91 (dd, J = 8.4, 1.8 Hz, 1H), 7.59 (d, J = 1.8 Hz, 1H), 7.40 (dd, J = 8.4, 1.8 Hz, 1H), 7.30 (d, J = 9.0 Hz, 1H), 7.25 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.78 (t, J = 6.0 Hz, 2H), 2.56-2.46 (m, 2H), 2.10 (quintuplet, J = 6.0 Hz, 2H).
63	Light yellow solid	159-161	¹ H NMR (600 MHz, CDCl ₃): δ 16.88 (s, 1H), 8.40 (d, J = 2.4 Hz, 1H), 7.89 (dd, J = 8.4, 2.0 Hz, 1H), 7.59 (d, J = 2.4 Hz, 1H), 7.40 (dd, J = 8.4, 2.4 Hz, 1H), 7.30 (d, J = 9.0 Hz, 1H), 7.25 (d, J = 8.4 Hz, 1H), 3.68 (s, 3H), 2.67 (s, 2H), 2.44-2.37 (m, 2H), 1.16 (d, J = 7.2 Hz, 6H).
64	Light yellow solid	179-181	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (d, J = 14.4 Hz, 1H), 8.41 (s, 1H), 7.93-7.87 (m, 1H), 7.59 (d, J = 1.8 Hz, 1H), 7.42-7.38 (m, 1H), 7.31-7.28 (m, 1H), 7.26-7.23 (m, 1H), 3.68 (s, 3H), 2.88-2.79 (m, 1H), 2.64-2.56 (m, 1H), 2.54-2.45 (m, 1H), 2.40-2.32 (m, 1H), 2.27-2.15 (m, 1H), 1.15 (dd, J = 6.6, 3.0 Hz, 3H).
65	White solid	162-164	¹ H NMR (600 MHz, CDCl ₃): δ 16.81 (s, 1H), 8.43 (d, J = 1.2 Hz, 1H), 7.89 (dd, J = 8.4, 1.8 Hz, 1H), 7.49-7.43 (m, 2H), 7.34-7.30 (m, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.10 (d, J = 7.8 Hz, 1H), 3.67 (s, 4H), 2.77 (t, J = 6.0 Hz, 2H), 2.73 (dt, J = 13.2, 7.2 Hz, 1H), 2.56-2.48 (m, 2H), 2.09 (quintuplet, J = 6.6 Hz, 2H), 1.18 (dd, J = 10.2, 6.6 Hz, 7H).
66	Light yellow solid	146-148	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.44 (d, J = 1.2 Hz, 1H), 7.90 (dd, J = 8.4, 1.2 Hz, 1H), 7.38-7.31

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ H NMR
			(m, 3H), 7.30 (d, J = 9.0 Hz, 1H), 7.16 (d, J = 7.8 Hz, 1H), 4.26 (q, J = 7.2 Hz, 2H), 2.77 (t, J = 6.0 Hz, 2H), 2.52 (t, J = 6.0 Hz, 2H), 2.15 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H), 1.40 (t, J = 7.2 Hz, 3H).
67	White solid	232-234	¹ H NMR (600 MHz, CDCl ₃): δ 16.84 (s, 1H), 8.45 (s, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 6.99 (s, 2H), 3.68 (s, 3H), 2.77 (s, 2H), 2.52 (s, 2H), 2.32 (s, 3H), 2.09 (s, 2H), 2.07 (s, 6H).
68	Light yellow solid	266-268	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (s, 1H), 9.89 (s, 1H), 8.33 (d, J = 1.8 Hz, 1H), 7.68 (dd, J = 8.4, 1.8 Hz, 1H), 7.44-7.29 (m, 3H), 7.19 (d, J = 7.8 Hz, 1H), 6.82 (d, J = 8.4 Hz, 1H), 2.75 (t, J = 6.0 Hz, 2H), 2.53 (t, J = 6.0 Hz, 2H), 2.18 (s, 3H), 2.07 (quintuplet, J = 6.6 Hz, 2H).
69	Light brown solid	193-195	¹ H NMR (600 MHz, CDCl ₃): δ 16.86 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.38-7.31 (m, 3H), 7.30 (d, J = 9.0 Hz, 1H), 7.16 (d, J = 7.2 Hz, 1H), 4.30-4.22 (m, 2H), 2.66 (s, 2H), 2.41 (s, 2H), 2.15 (s, 3H), 1.40 (t, J = 7.2 Hz, 3H), 1.16 (d, J = 5.4 Hz, 6H).
70	Light brown solid	188-190	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (d, J = 14.4 Hz, 1H), 8.43 (s, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.40-7.32 (m, 3H), 7.29 (d, J = 8.4 Hz, 1H), 7.16 (dd, J = 7.2, 4.2 Hz, 1H), 4.32-4.22 (m, 2H), 2.86-2.78 (m, 1H), 2.60 (d, J = 15.6 Hz, 1H), 2.53-2.44 (m, 1H), 2.41-2.31 (m, 1H), 2.27-2.17 (m, 1H), 2.15 (d, J = 5.4 Hz, 3H), 1.40 (t, J = 7.2 Hz, 3H), 1.15 (dd, J = 7.2, 1.2 Hz, 3H).
71	Light brown solid	188-190	¹ H NMR (600 MHz, CDCl ₃): δ 16.79 (s, 1H), 8.43 (d, J = 1.2 Hz, 1H), 7.89 (dd, J = 9.0, 1.2 Hz, 1H), 7.38-7.30 (m, 3H), 7.26 (d, J = 9.0 Hz, 1H), 7.15 (d, J = 7.2 Hz, 1H), 4.23-4.08 (m, 2H), 2.77 (t, J = 6.0 Hz, 2H), 2.52 (t, J = 6.0 Hz, 2H), 2.15 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H), 1.86-1.79 (m, 2H), 1.04 (t, J = 7.2 Hz, 3H).
72	Light brown solid	178-180	¹ H NMR (600 MHz, CDCl ₃): δ 16.86 (s, 1H), 8.42 (s, 1H), 7.87 (d, J = 8.4 Hz, 1H), 7.39-7.30 (m, 3H), 7.26 (d, J = 9.0 Hz, 3H), 7.15 (d, J = 7.2 Hz, 1H), 4.16 (m, 2H), 2.66 (s, 2H), 2.41 (s, 2H), 2.15 (s, 3H), 1.83 (dd, J = 14.4, 7.2 Hz, 2H), 1.16 (d, J = 5.4 Hz, 6H), 1.04 (t, J = 7.2 Hz, 3H).
73	Light yellow solid	156-158	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (s, 1H), 8.44 (d, J = 1.8 Hz, 1H), 7.89 (dd, J = 9.0, 1.8 Hz, 1H), 7.38-7.31 (m, 3H), 7.27 (d, J = 9.0 Hz, 4H), 7.15 (d, J = 7.8 Hz, 1H), 4.24-4.12 (m, 2H), 2.78 (t, J = 6.0 Hz, 2H), 2.52 (t, J = 6.6 Hz, 2H), 2.15 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H), 1.82-1.74 (m, 2H), 1.51-1.43 (m, 2H), 1.00 (t, J = 7.2 Hz, 3H).
74	White solid	197-199	¹ H NMR (600 MHz, CDCl ₃): δ 16.87 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 9.0, 1.8 Hz, 1H), 7.38-7.30 (m, 3H), 7.27 (d, J = 9.0 Hz, 2H), 7.15 (d, J = 7.2 Hz, 1H), 4.28-4.09 (m, 2H), 2.66 (s, 2H), 2.45-2.37 (m, 2H), 2.15 (s, 3H), 1.81-1.74 (m, 2H), 1.50-1.43 (m, 2H), 1.16 (d, J = 5.4 Hz, 6H), 1.00 (t, J = 7.8 Hz, 3H).
75	White solid	198-200	¹ H NMR (600 MHz, CDCl ₃): δ 16.80 (s, 1H), 8.44 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 8.4, 1.8 Hz, 1H), 7.39-7.31 (m, 3H), 7.25 (d, J = 9.0 Hz, 1H), 7.14 (d, J = 7.2 Hz, 1H), 4.15 (dd, J = 14.4, 8.4 Hz, 1H), 3.97 (dd, J = 14.4, 6.6 Hz, 1H), 2.78 (t, J = 6.6 Hz, 2H), 2.57-2.47 (m, 2H), 2.30-2.21 (m, 1H), 2.15 (s, 3H), 2.09 (quintuplet, J = 6.6 Hz, 2H), 1.03 (dd, J = 19.2, 6.6 Hz, 6H).
76	Light brown solid	170-172	¹ H NMR (600 MHz, CDCl ₃): δ 16.88 (s, 1H), 8.43 (d, J = 1.8 Hz, 1H), 7.86 (dd, J = 9.0, 1.8 Hz, 1H), 7.39-7.32 (m, 3H), 7.25 (d, J = 9.0 Hz, 1H), 7.14 (d, J = 7.8 Hz, 1H), 4.15 (dd, J = 14.4, 8.4 Hz, 1H), 3.97 (dd, J = 14.4, 6.6 Hz, 1H), 2.72-2.61 (m, 2H), 2.48-2.37 (m, 2H), 2.31-2.19 (m, 1H), 2.15 (s, 3H), 1.16 (d, J = 5.4 Hz, 6H), 1.03 (dd, J = 19.2, 6.6 Hz, 6H).
77	White solid	171-173	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.43 (d, J = 1.8 Hz, 1H), 7.93 (dd, J = 9.0, 1.8 Hz, 1H), 7.47 (d, J = 8.4 Hz, 1H), 7.39-7.31 (m, 3H), 7.17 (d, J = 7.8 Hz, 1H), 5.00 (qd, J = 18.0, 1.8 Hz, 2H), 2.78 (t, J = 6.0 Hz, 2H), 2.52 (t, J = 6.0 Hz, 2H), 2.35 (s, 1H), 2.16 (s, 3H), 2.10 (quintuplet, J = 6.6 Hz, 2H).
78	Light brown solid	205-207	¹ H NMR (600 MHz, CDCl ₃): δ 16.85 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.92 (dd, J = 9.0, 1.8 Hz, 1H), 7.47 (d, J = 9.0 Hz, 1H), 7.40-7.31 (m, 3H), 7.17 (d, J = 7.8 Hz, 1H), 5.00 (qd, J = 18.0, 1.2 Hz, 2H), 2.67 (s, 2H), 2.41 (s, 2H), 2.35 (s, 1H), 2.16 (s, 3H), 1.16 (d, J = 5.4 Hz, 6H).
79	Light yellow solid	180-182	¹ H NMR (600 MHz, CDCl ₃): δ 16.75 (s, 1H), 8.42 (s, 1H), 7.77 (d, J = 9.0 Hz, 1H), 7.40-7.33 (m, 5H),

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ H NMR
80	Pale brown solid	146-148	7.33-7.27 (m, 3H), 7.24-7.19 (m, 2H), 5.51 (d, J = 16.8 Hz, 1H), 5.34 (d, J = 15.6 Hz, 1H), 2.76 (t, J = 6.0 Hz, 2H), 2.49 (t, J = 6.0 Hz, 2H), 2.21 (s, 3H), 2.07 (quintuplet, J = 6.6 Hz, 2H). ¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.75 (dd, J = 9.0, 1.8 Hz, 1H), 7.41-7.33 (m, 5H), 7.33-7.27 (m, 3H), 7.24-7.19 (m, 2H), 5.51 (d, J = 16.8 Hz, 1H), 5.34 (d, J = 15.6 Hz, 1H), 2.64 (s, 2H), 2.38 (s, 2H), 2.21 (s, 3H), 1.14 (d, J = 5.4 Hz, 6H).
81	Light yellow solid	182-184	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.41 (s, 1H), 7.75 (d, J = 8.4 Hz, 1H), 7.41-7.33 (m, 3H), 7.27 (d, J = 8.4 Hz, 1H), 7.23-7.19 (m, 2H), 6.87 (d, J = 7.8 Hz, 1H), 6.85-6.80 (m, 2H), 5.51 (d, J = 16.2 Hz, 1H), 5.27 (d, J = 15.6 Hz, 1H), 3.78 (s, 3H), 2.64 (s, 2H), 2.38 (s, 2H), 2.21 (s, 3H), 1.14 (d, J = 4.8 Hz, 6H).
82	White solid	230-232	¹ H NMR (600 MHz, CDCl ₃): δ 17.65 (s, 1H), 7.40 (d, J = 9.0 Hz, 1H), 7.38-7.30 (m, 3H), 7.20 (d, J = 8.4 Hz, 1H), 7.14 (d, J = 6.6 Hz, 1H), 3.65 (s, 3H), 2.81 (t, J = 6.0 Hz, 2H), 2.67 (s, 3H), 2.46 (t, J = 6.0 Hz, 2H), 2.17 (s, 3H), 2.07 (quintuplet, J = 6.6 Hz, 2H).
83	Light brown solid	178-180	¹ H NMR (600 MHz, CDCl ₃): δ 16.75 (s, 1H), 8.43 (s, 1H), 7.80 (d, J = 9.0 Hz, 1H), 7.41-7.33 (m, 3H), 7.29 (q, J = 6.6 Hz, 1H), 7.25-7.19 (m, 3H), 7.15-7.08 (m, 2H), 5.56 (d, J = 16.2 Hz, 1H), 5.41 (d, J = 16.8 Hz, 1H), 2.76 (t, J = 6.0 Hz, 2H), 2.50 (brs, 2H), 2.21 (s, 3H), 2.08 (quintuplet, J = 6.0 Hz, 2H).
84	Light yellow solid	173-175	¹ H NMR (600 MHz, CDCl ₃): δ 16.76 (s, 1H), 8.42 (s, 1H), 7.77 (d, J = 8.4 Hz, 1H), 7.41-7.32 (m, 3H), 7.27 (d, J = 7.8 Hz, 1H), 7.24-7.19 (m, 2H), 6.88 (d, J = 7.8 Hz, 1H), 6.86-6.80 (m, 2H), 5.50 (d, J = 15.0 Hz, 1H), 5.27 (d, J = 15.6 Hz, 1H), 3.78 (s, 3H), 2.75 (t, J = 6.0 Hz, 2H), 2.49 (t, J = 6.0 Hz, 2H), 2.21 (s, 3H), 2.07 (quintuplet, J = 6.0 Hz, 2H).
85	Light brown solid	176-178	¹ H NMR (600 MHz, CDCl ₃): δ 16.83 (s, 1H), 8.41 (s, 1H), 7.78 (d, J = 9.0 Hz, 1H), 7.41-7.33 (m, 3H), 7.29 (q, J = 7.2 Hz, 1H), 7.25-7.19 (m, 3H), 7.14-7.08 (m, 2H), 5.56 (d, J = 16.8 Hz, 1H), 5.42 (d, J = 16.2 Hz, 1H), 2.65 (s, 2H), 2.38 (s, 2H), 2.20 (s, 3H), 1.14 (d, J = 5.4 Hz, 6H).
86	Light yellow solid	196-198	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.41 (d, J = 1.2 Hz, 1H), 7.87 (d, J = 8.4 Hz, 1H), 7.63 (d, J = 8.4 Hz, 1H), 7.45 (t, J = 7.8 Hz, 1H), 7.42-7.34 (m, 2H), 7.20 (d, J = 7.8 Hz, 1H), 2.77 (t, J = 6.0 Hz, 2H), 2.55 (s, 3H), 2.52 (t, J = 6.0 Hz, 2H), 2.16 (s, 3H), 2.09 (quintuplet, J = 6.0 Hz, 2H).
87	White solid	239-241	¹ H NMR (600 MHz, CDCl ₃): δ 16.89 (s, 1H), 8.40 (s, 1H), 7.85 (d, J = 8.4 Hz, 1H), 7.64 (d, J = 8.4 Hz, 1H), 7.45 (t, J = 7.8 Hz, 1H), 7.42-7.33 (m, 2H), 7.20 (d, J = 7.8 Hz, 1H), 2.66 (s, 2H), 2.55 (s, 3H), 2.41 (s, 2H), 2.16 (s, 3H), 1.16 (d, J = 1.8 Hz, 6H).
88	Light yellow solid	161-163	¹ H NMR (600 MHz, CDCl ₃): δ 17.66 (s, 1H), 7.41 (t, J = 7.8 Hz, 1H), 7.38 (d, J = 9.0 Hz, 1H), 7.19 (t, J = 8.4 Hz, 2H), 7.06 (dd, J = 19.2, 7.8 Hz, 2H), 3.80 (s, 3H), 3.64 (s, 3H), 2.81 (t, J = 6.0 Hz, 2H), 2.68 (s, 2H), 2.45 (t, J = 6.0 Hz, 2H), 2.06 (quintuplet, J = 6.0 Hz, 2H).
89	White solid	179-181	¹ H NMR (600 MHz, CDCl ₃): δ 16.88 (s, 1H), 8.42 (s, 1H), 7.88 (d, J = 7.8 Hz, 1H), 7.42 (s, 2H), 7.34 (s, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.13 (d, J = 6.6 Hz, 1H), 3.68 (s, 3H), 2.66 (s, 2H), 2.47 (q, J = 7.2 Hz, 2H), 2.40 (s, 2H), 1.21-1.12 (m, 9H).
90	Light yellow solid	247-249	¹ H NMR (600 MHz, CDCl ₃): δ 16.78 (s, 1H), 8.39 (s, 1H), 7.89 (d, J = 9.0 Hz, 1H), 7.64 (d, J = 7.8 Hz, 2H), 7.28 (d, J = 9.6 Hz, 1H), 7.15 (d, J = 8.4 Hz, 2H), 3.66 (s, 3H), 2.82 (d, J = 18.6 Hz, 1H), 2.59 (d, J = 16.8 Hz, 1H), 2.49 (dd, J = 18.0, 10.8 Hz, 1H), 2.42-2.31 (m, 1H), 2.26-2.15 (m, 1H), 1.15 (d, J = 6.0 Hz, 3H).
91	Beige solid	221-223	¹ H NMR (600 MHz, CDCl ₃): δ 17.64 (s, 1H), 7.83 (d, J = 7.2 Hz, 1H), 7.72 (t, J = 7.8 Hz, 1H), 7.60 (t, J = 7.8 Hz, 1H), 7.42 (d, J = 9.0 Hz, 1H), 7.35 (d, J = 7.8 Hz, 1H), 7.21 (d, J = 9.0 Hz, 1H), 3.64 (s, 3H), 2.68 (s, 2H), 2.64 (s, 3H), 2.33 (s, 2H), 1.13 (s, 6H).
92	White solid	262-264	¹ H NMR (600 MHz, CDCl ₃): δ 17.64 (s, 1H), 7.83 (d, J = 7.2 Hz, 1H), 7.72 (t, J = 7.8 Hz, 1H), 7.60 (t, J = 7.2 Hz, 1H), 7.41 (d, J = 8.4 Hz, 1H), 7.35 (d, J = 7.8 Hz, 1H), 7.20 (d, J = 8.4 Hz, 1H), 3.64 (s, 3H), 2.81 (t, J = 6.0 Hz, 2H), 2.65 (s, 3H), 2.46 (t, J = 6.0 Hz, 2H), 2.07 (quintuplet, J = 6.0 Hz, 2H).

TABLE 1-continued

NO.	Appearance	Melting point/ ^o C.	¹ H NMR
93	White solid	206-208	¹ H NMR (600 MHz, CDCl ₃): δ 17.67 (s, 1H), 7.41 (d, J = 9.0 Hz, 1H), 7.25-7.16 (m, 5H), 3.66 (s, 3H), 2.82 (t, J = 6.0 Hz, 2H), 2.68 (s, 3H), 2.47 (t, J = 6.0 Hz, 2H), 2.13 (s, 7H), 2.07 (quintuplet, J = 6.6 Hz, 2H).
94	Beige solid	228-230	¹ H NMR (600 MHz, CDCl ₃): δ 16.92 (s, 1H), 8.44 (d, J = 2.4 Hz, 1H), 7.89 (dd, J = 9.0, 1.8 Hz, 1H), 7.49 (d, J = 7.8 Hz, 2H), 7.37 (t, J = 7.8 Hz, 1H), 7.31 (d, J = 8.4 Hz, 1H), 3.70 (s, 3H), 2.67 (s, 2H), 2.41 (s, 2H), 1.16 (s, 6H).
95	Light yellow solid	188-190	¹ H NMR (600 MHz, CDCl ₃): δ 16.82 (s, 1H), 8.45 (d, J = 1.2 Hz, 1H), 7.91 (dd, J = 8.4, 0.6 Hz, 1H), 7.39 (d, J = 7.8 Hz, 1H), 7.33-7.28 (m, 2H), 3.69 (s, 3H), 2.78 (t, J = 6.6 Hz, 2H), 2.52 (t, J = 6.0 Hz, 2H), 2.20 (s, 3H), 2.10 (quintuplet, J = 6.6 Hz, 2H).
96	White solid	189-191	¹ H NMR (600 MHz, CDCl ₃): δ 16.92 (s, 1H), 8.44 (d, J = 0.6 Hz, 1H), 7.89 (dd, J = 6.6, 0.6 Hz, 1H), 7.39 (d, J = 7.2 Hz, 1H), 7.33-7.28 (m, 2H), 3.70 (s, 3H), 2.67 (s, 2H), 2.42 (s, 2H), 2.20 (s, 3H), 1.17 (s, 6H).
97	White solid	232-234	¹ H NMR (600 MHz, CDCl ₃): δ 16.90 (s, 1H), 8.42 (d, J = 1.8 Hz, 1H), 7.87 (dd, J = 9.0, 1.8 Hz, 1H), 7.46 (q, J = 8.4 Hz, 2H), 7.32 (td, J = 7.8, 1.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.10 (d, J = 7.8 Hz, 1H), 3.68 (s, 3H), 2.72 (dt, J = 13.8, 7.2 Hz, 1H), 2.66 (s, 2H), 2.48-2.34 (m, 2H), 1.24-1.11 (m, 12H).
98	White solid	181-183	¹ H NMR (600 MHz, CDCl ₃): δ 16.91 (s, 1H), 8.44 (d, J = 1.2 Hz, 1H), 7.88 (dd, J = 9.0, 1.8 Hz, 1H), 7.30 (d, J = 9.0 Hz, 1H), 7.24 (d, J = 7.2 Hz, 1H), 7.18 (d, J = 7.8 Hz, 2H), 3.69 (s, 3H), 2.67 (s, 2H), 2.41 (s, 2H), 2.12 (s, 6H), 1.16 (s, 6H).

Test Example 1

This test example is for describing the herbicidal activity inhibition ratio (%) (the dose is 150 g/ha) of the compound with a structure shown in Formula (I).

Primary screening test (pot culture method): The test targets are *abutilon theophrasti*, *digitaria sanguinalis*, *amaranthus retroflexus*, *echinochloa crusgalli*, *eclipta prostrata* and *setaria viridis*. Take flowerpots with an inner diameter of 6 cm, put composite soil (vegetable garden soil:seedling matrix=1:2, v/v) into them till ¾ of flowerpot height,

directly sow the above six weed targets (budding rate>85%), cover them with 0.2 cm thick soil, and keep them for future use when the weeds grow to 3-leaf stage. Each compound is dissolved in N,N-dimethylformamide at a dose of 150 g/ha and diluted with distilled water into a 0.2 g/L solution. The solution is sprayed through an automatic spray tower. After the solution on weed leaves is aired dry, the flowerpots are moved into a greenhouse for cultivation. The result is investigated 15 days later. Its inhibition ratio (%) is shown in Table 2.

TABLE 2

NO.	<i>Abutilon theophrasti</i>	<i>Digitaria sanguinalis</i>	<i>Amaranthus retroflexus</i>	<i>Echinochloa crusgalli</i>	<i>Eclipta prostrata</i>	<i>Setaria viridis</i>
1	90	90	90	90	85	100
2	100	90	95	80	75	80
3	80	70	100	80	80	100
4	100	100	100	85	90	90
5	85	100	80	80	80	100
6	100	75	80	80	85	90
7	100	70	90	80	60	80
8	0	90	100	75	80	85
9	100	75	100	85	95	100
10	100	75	85	85	80	80
11	85	95	85	85	85	80
12	85	80	80	80	80	100
13	100	90	100	90	90	100
14	75	75	100	75	75	75
15	60	75	70	70	60	75
16	70	100	70	85	75	100
17	70	75	100	70	95	100
18	75	90	100	70	75	85
19	75	85	100	70	85	100
20	100	100	100	100	95	100
21	85	85	100	85	95	100
22	100	95	100	80	90	95
23	85	30	95	85	50	45
24	93	60	80	30	83	10
25	80	80	95	80	83	35
26	100	93	100	85	88	30
27	83	90	100	90	80	60

TABLE 2-continued

NO.	<i>Abutilon theophrasti</i>	<i>Digitaria sanguinalis</i>	<i>Amaranthus retroflexus</i>	<i>Echinochloa crusgalli</i>	<i>Eclipta prostrata</i>	<i>Setaria viridis</i>
28	100	95	95	85	83	35
29	90	88	100	90	65	60
30	100	60	100	85	60	30
31	60	60	80	40	55	10
32	80	80	85	80	50	40
33	85	80	90	85	83	60
34	90	83	100	90	80	80
35	80	65	100	65	70	50
36	50	100	35	25	0	50
37	65	83	95	80	80	40
38	90	85	100	90	75	80
39	95	85	98	70	85	80
40	100	85	95	78	80	45
41	100	85	95	73	80	25
42	98	93	100	88	83	60
43	65	60	98	80	83	50
44	85	75	100	65	0	60
45	60	40	90	50	83	10
46	35	55	100	60	70	20
47	100	95	100	85	85	83
48	50	85	95	85	85	50
49	100	90	95	65	80	50
50	50	60	95	78	80	50
51	93	83	90	50	80	40
52	55	50	98	85	75	80
53	100	25	80	0	80	80
54	100	60	98	95	80	45
55	100	60	95	93	68	25
56	55	55	80	60	75	60
57	60	75	95	85	80	50
58	100	88	90	80	68	60
59	0	0	30	0	25	10
60	100	40	70	65	0	80
61	65	25	0	0	20	10
62	100	65	88	83	20	93
63	100	20	30	25	50	30
64	95	60	80	90	0	93
65	98	100	90	80	80	98
66	100	95	93	100	95	100
67	95	80	80	83	0	80
68	15	0	0	0	0	10
69	100	30	95	90	88	85
70	100	90	98	100	100	100
71	95	25	85	80	98	98
72	100	20	20	30	60	30
73	50	0	30	0	0	10
74	50	0	0	0	0	10
75	90	0	20	0	20	10
76	20	0	0	0	0	10
77	90	0	90	50	35	20
78	0	0	0	0	0	10
79	0	0	0	0	0	10
80	0	0	0	0	0	10
81	0	0	0	0	0	10
82	100	100	100	100	100	100
83	0	30	0	50	90	50
84	0	25	0	50	80	10
85	0	0	20	20	0	10
86	20	30	0	85	30	10
87	0	0	0	25	0	10
88	100	100	100	100	100	100
89	100	60	100	80	70	75
90	92.5	93	20	90	83	85
91	100	100	100	100	100	100
92	100	100	100	100	100	100
93	100	100	100	100	100	100
94	95	88	95	93	98	95
95	100	90	100	100	70	100
96	95	30	100	55	83	95
97	98	50	100	55	90	50
98	100	50	100	85	88	80

Secondary Screening Test:

By the same method adopted in primary screening test, a secondary screening test is done on some typical compounds, such as: 5, 6, 20, 21, 23, 34, 47, 65, 66, 70 and 91 at a reduced dose, and the test result is compared with mesotrione which is commercially available and has a good herbicidal effect. The test method is same as that adopted in primary screening test. The test result of inhibition ratio % is shown in Table 3. Mesotrione is bought from Hubei Litian Chemical Co., Ltd.

TABLE 3

NO.	Dose (g/ha)	<i>Echinochloa crusgalli</i>	<i>Digitaria sanguinalis</i>	<i>Setaria viridis</i>	<i>Abutilon theophrasti</i>	<i>Amaranthus retroflexus</i>	<i>Eclipta prostrata</i>
5	37.5	60	40	60	60	60	30
	75	90	90	90	70	75	40
6	37.5	70	60	60	70	70	70
	75	75	70	60	70	75	70
20	37.5	50	40	50	30	50	50
	75	60	70	70	85	60	50
21	37.5	70	70	70	70	80	40
	75	75	75	75	100	90	50
23	37.5	20	20	30	100	100	100
	75	20	65	50	100	100	100
34	37.5	65	55	70	30	100	30
	75	80	75	78	50	100	50
47	37.5	80	80	88	60	90	20
	75	88	85	90	90	95	30
65	37.5	95	30	80	50	50	30
	75	100	40	85	70	60	50
66	37.5	100	60	97.5	100	90	95
	75	100	97.5	100	100	100	100
70	37.5	40	80	83	50	50	60
	75	55	75	93	73	70	78
91	37.5	65	70	100	85	60	80
	75	90	90	100	93	80	93
Mesotrione	37.5	50	40	0	100	70	75
	75	70	70	0	100	75	85

The result shown in Table 3 indicates the above compounds have higher activity than control agent mesotrione in killing *echinochloa crusgalli*, *digitaria sanguinalis*, *setaria viridis*, *abutilon theophrasti* and *amaranthus retroflexus* and moreover they can also prevent and control gramineae weeds, such as: *setaria viridis*, which cannot be prevented and controlled by mesotrione.

Test Example 2

This test example is for describing crop safety of the compound with a structure shown in Formula (1).

Crop safety test method (pot culture method): The test targets are wheat and maize. Take flowerpots with an inner diameter of 12 cm, put composite soil (vegetable garden soil:seedling matrix=1:2, v/v) into them till $\frac{3}{4}$ of flowerpot height, directly sow the crop seeds (budding rate>85%), cover them with 0.2 cm thick soil, and keep the plants for future use when they grow to have about 4-5 leaves. Each compound is dissolved in N,N-dimethylformamide at doses of 75 g/ha or 150 g/ha and diluted with distilled water containing 0.1% tween-80 emulsifier to form 0.1 g/L (75 g/ha) solution and 0.2 g/L (150 g/ha) solution respectively. The solutions are sprayed through an automatic spray tower. After the solutions on weed leaves are dried in the air, the flowerpots are moved into a greenhouse for cultivation. The result is investigated 15 days later. Its inhibition ratio (%) is shown in Table 4.

TABLE 4

NO.	Dose (g/ha)	Maize	Wheat
5	75	0	0
	150	15	0
6	75	0	0
	150	15	0
20	75	0	0
	150	15	0

TABLE 4-continued

NO.	Dose (g/ha)	Maize	Wheat
21	75	0	0
	150	15	0
23	75	0	0
	150	0	5
34	75	0	0
	150	0	5
47	75	0	0
	150	0	0
65	75	0	0
	150	10	10
66	75	0	0
	150	5	10
70	75	0	0
	150	15	10
91	75	0	0
	150	10	10
Mesotrione	75	7	10
	150	15	40

The result shown in Table 4 indicates the above compounds may be used as herbicides in wheat fields and all the compounds at a dose of 75 g/ha may be used as herbicides in maize fields. Particularly, compounds 23, 34 and 47 at a dose of 150 g/ha are highly safe to maize fields. Further, at the same dose, the compounds are safer than herbicide mesotrione sold in the market.

To summarize, the triketone compound described in the present invention and containing a quinazolinone structure has an effect in preventing and controlling weeds, particularly broadleaved weeds and/or gramineae weeds, and their safety is universally high.

The preferred embodiments of the present invention are described in details above, but the present invention is not limited to the concrete details of the foregoing embodiments. Within the scope of technical conception of the present invention, the technical solutions of the present invention may be modified in simple and multiple ways. These simple modifications are all within the scope of protection of the present invention.

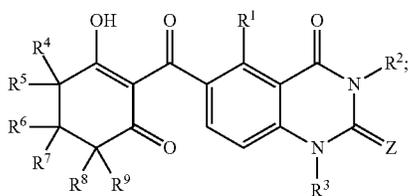
Further, it should be noted that the concrete technical features described in the foregoing embodiments may be combined in any appropriate manner, provided that no conflict occurs. In order to avoid unnecessary repetition, the present invention does not describe all the possible combinations.

Further, the embodiments of the present invention may be freely combined, provided that the combinations do not go against the intention of the present invention. Likewise, the combinations shall also be deemed as contents disclosed by the present invention.

The invention claimed is:

1. A triketone compound having a structure shown in Formula (I):

Formula (I)



(I)

wherein,

Z is O or S;

R¹ is one of H, C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano;

R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic groups and triaromatic groups;

R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl;

R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen.

2. The triketone compound according to claim 1, wherein, Z is O;

R¹ is one of H and C₁-C₃ alkyl;

R² is one of substituted or unsubstituted phenyl and substituted or unsubstituted diaromatic groups;

R³ is one of H, C₁-C₆ alkyl, C₂-C₄ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl;

R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl.

3. The triketone compound according to claim 1, wherein, R¹ is H or methyl;

R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively H or methyl.

4. The triketone compound according to claim 1, wherein the triketone compound is one selected from a compound shown in Table A and Table B:

TABLE A

NO.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸	R ⁹	Z
1	H	4-Cl-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
2	H	4-Cl-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
3	H	3-Cl-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
4	H	3-Cl-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
5	H	2-Cl-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
6	H	2-Cl-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
7	H	3,5-di-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
8	H	3,5-di-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
9	H	4-F-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
10	H	3-F-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
11	H	3-F-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
12	H	2-F-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
13	H	2-F-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
14	H	4-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
15	H	4-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
16	H	4-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	CH ₃	H	H	O
17	H	3-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
18	H	3-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
19	H	3-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	H	H	H	O
20	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
21	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
22	H	4-F-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
23	H	3-Br-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
24	H	3-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
25	H	4-Br-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
26	H	4-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
27	H	2-Br-C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
28	H	2-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
29	H	2-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
30	H	4-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
31	H	4-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
32	H	2,6-di-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
33	H	2-CH ₃ -5-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
34	H	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
35	H	C ₆ H ₅	CH ₃	H	H	H	H	H	H	O

TABLE A-continued

NO.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸	R ⁹	Z
36	H	4-CH(CH ₃) ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
37	H	2-CH ₃ -5-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
38	H	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
39	H	2-OCF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
40	H	C ₆ H ₅	CH ₃	H	H	CH ₃	CH ₃	H	H	O
41	H	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
42	H	C ₆ H ₅	CH ₃	H	H	CH ₃	H	H	H	O
43	H	4-Cl-C ₆ H ₄	CH ₂ CH ₃	H	H	H	H	H	H	O
44	H	1-naphthyl	CH ₃	H	H	H	H	H	H	O
45	H	4-NO ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
46	H	2,6-di-CH(CH ₃) ₂ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
47	H	2-CH ₂ CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
48	H	2-OCCH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
49	H	4-OCF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
50	H	4-OCF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
51	H	3-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
52	H	3-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
53	H	4-NO ₂ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
54	H	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	CH ₃	CH ₃	H	H	H	H	O
55	H	3-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
56	H	3-OCH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
57	H	4-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
58	H	4-OCH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
59	H	2-CH ₃ -C ₆ H ₄	H	H	H	CH ₃	CH ₃	H	H	O
60	H	2-Cl-5-CF ₃ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
61	H	2-Cl-5-CF ₃ -C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
62	H	2,4-di-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
63	H	2,4-di-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
64	H	2,4-di-Cl-C ₆ H ₃	CH ₃	H	H	H	CH ₃	H	H	O
65	H	2-CH(CH ₃) ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
66	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₃	H	H	H	H	H	H	O
67	H	2,4,6-tri-CH ₃ -C ₆ H ₂	CH ₃	H	H	H	H	H	H	O
68	H	2-CH ₃ -C ₆ H ₄	H	H	H	H	H	H	H	O
69	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₃	H	H	CH ₃	CH ₃	H	H	O
70	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₃	H	H	H	CH ₃	H	H	O

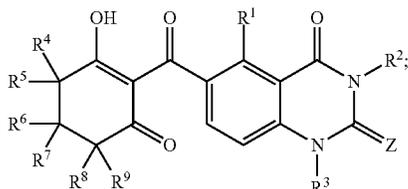
TABLE B

NO.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸	R ⁹	Z
71	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₃	H	H	H	H	H	H	O
72	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₃	H	H	CH ₃	CH ₃	H	H	O
73	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₂ CH ₃	H	H	H	H	H	H	O
74	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH ₂ CH ₂ CH ₃	H	H	CH ₃	CH ₃	H	H	O
75	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH(CH ₃) ₂	H	H	H	H	H	H	O
76	H	2-CH ₃ -C ₆ H ₄	CH ₂ CH(CH ₃) ₂	H	H	CH ₃	CH ₃	H	H	O
77	H	2-CH ₃ -C ₆ H ₄	CH ₂ C=CH	H	H	H	H	H	H	O
78	H	2-CH ₃ -C ₆ H ₄	CH ₂ C=CH	H	H	CH ₃	CH ₃	H	H	O
79	H	2-CH ₃ -C ₆ H ₄	CH ₂ C ₆ H ₅	H	H	H	H	H	H	O
80	H	2-CH ₃ -C ₆ H ₄	CH ₂ C ₆ H ₅	H	H	CH ₃	CH ₃	H	H	O
81	H	2-CH ₃ -C ₆ H ₄	CH ₂ -3-OCH ₃ -C ₆ H ₄	H	H	CH ₃	CH ₃	H	H	O
82	CH ₃	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
83	H	2-CH ₃ -C ₆ H ₄	CH ₂ -2-F-C ₆ H ₄	H	H	H	H	H	H	O
84	H	2-CH ₃ -C ₆ H ₄	CH ₂ -3-OCH ₃ -C ₆ H ₄	H	H	H	H	H	H	O
85	H	2-CH ₃ -C ₆ H ₄	CH ₂ -2-F-C ₆ H ₄	H	H	CH ₃	CH ₃	H	H	O
86	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	S
87	H	2-CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	S
88	CH ₃	2-OCH ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
89	H	2-CH ₂ CH ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
90	H	4-Br-C ₆ H ₄	CH ₃	H	H	CH ₃	H	H	H	O
91	CH ₃	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	CH ₃	CH ₃	H	H	O
92	CH ₃	2-CF ₃ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
93	CH ₃	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
94	H	2,6-di-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
95	H	2-CH ₃ -6-Cl-C ₆ H ₃	CH ₃	H	H	H	H	H	H	O
96	H	2-CH ₃ -6-Cl-C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O
97	H	2-CH(CH ₃) ₂ -C ₆ H ₄	CH ₃	H	H	H	H	H	H	O
98	H	2,6-di-CH ₃ -C ₆ H ₃	CH ₃	H	H	CH ₃	CH ₃	H	H	O

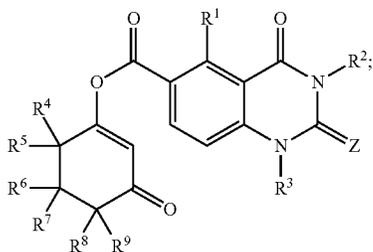
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5. A method for preparing the triketone compound with a structure shown in Formula (I), comprising the step of contacting the compound with a structure shown in Formula (II) with catalyst under the conditions of rearrangement reaction in the presence of alkali and solvent;

Formula (I)



Formula (II)



wherein,

Z is O or S;

R¹ is one of H, C₁-C₆ alkyl, halogen, nitro, C₁-C₆ alkoxy and cyano;

R² is one of substituted or unsubstituted phenyl, benzyl, diaromatic groups and triaromatic groups;

R³ is one of H, C₁-C₆ alkyl, C₂-C₆ unsaturated chain alkyl, substituted or unsubstituted phenyl, and substituted or unsubstituted benzyl;

R⁴, R⁵, R⁶, R⁷, R⁸ and R⁹ are respectively one of H, C₁-C₆ alkyl, C₁-C₆ alkoxy and halogen.

6. The method according to claim 5, wherein the molar ratio of the compound with a structure shown in Formula (II) to catalyst and alkali is 1:0.01-1:0.5-4; the contact conditions are: reaction temperature being 0-100° C.; reaction time being 0.5-24 h.

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7. The method according to claim 5, wherein the catalyst is at least one of sodium cyanide, potassium cyanide, acetone cyanohydrins, trimethyl silyl cyanide, 1,2,4-triazole and benzo-1,2,4-triazole;

the alkali is at least one of potassium carbonate, sodium carbonate, cesium carbonate, triethylamine and pyridine;

the solvent is at least one of dichloromethane, trichloromethane, dichloroethane, acetonitrile, toluene, tetrahydrofuran and benzene.

8. The method according to claim 6, wherein the catalyst is at least one of sodium cyanide, potassium cyanide, acetone cyanohydrins, trimethyl silyl cyanide, 1,2,4-triazole and benzo-1,2,4-triazole; the alkali is at least one of potassium carbonate, sodium carbonate, cesium carbonate, triethylamine and pyridine; the solvent is at least one of dichloromethane, trichloromethane, dichloroethane, acetonitrile, toluene, tetrahydrofuran and benzene.

9. A method of controlling weeds comprising applying the triketone compound of claim 1 to the weeds.

10. The method according to claim 9, wherein the weeds are broadleaved weeds and/or gramineae weeds.

11. The method according to claim 9, wherein the dose of the triketone compound is 50-300 g/ha.

12. The method according to claim 10, wherein the weeds are one or more of *abutilon theophrasti*, *digitaria sanguinalis*, *amaranthus retroflexus*, *echinochloa crusgalli*, *eclipta prostrata* and *setaria viridis*.

13. The method according to claim 12, wherein the dose of the triketone compound is 50-300 g/ha.

14. A method of controlling weeds comprising applying the triketone compound of claim 2 to the weeds.

15. The method according to claim 14, wherein the weeds are broadleaved weeds and/or gramineae weeds.

16. The method according to claim 15, wherein the weeds are one or more of *abutilon theophrasti*, *digitaria sanguinalis*, *amaranthus retroflexus*, *echinochloa crusgalli*, *eclipta prostrata* and *setaria viridis*.

17. The method according to claim 15, wherein the dose of the triketone compound is 50-300 g/ha.

18. The method according to claim 16, wherein the dose of the triketone compound is 50-300 g/ha.

19. A method of controlling weeds comprising applying the triketone compound of claim 3 to the weeds.

20. A method of controlling weeds comprising applying the triketone compound of claim 4 to the weeds.

* * * * *